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C:\Program Files\Stnexp\Queries\10716183.str
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```
19 20 21 22 23
ring nodes :
   1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
   5-19 6-22 11-22 15-21 19-20 19-21 22-23
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
   15-16 16-17 17-18
exact/norm bonds :
   5-19 19-21 22-23
exact bonds :
   6-22 11-22 15-21 19-20
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15
   15-16 16-17 17-18
G1:X,Cb,Ak
G2:X,Cb,Ak,H
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
   12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS
   21:CLASS 22:CLASS 23:CLASS 25-CLASS 27:CLASS 28:CLASS 30:CLASS 31:CLASS 32:CLASS
                                     37: CLASS 39: CLASS 40: CLASS 41: CLASS 42: CLASS
           34:CLASS
   33:CLASS
                    35:CLASS
                             36:CLASS
                                     47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS
   43:CLASS 44:CLASS 45:CLASS
                             46:CLASS
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55: CLASS

chain nodes :

52:CLASS 53:CLASS 54:CLASS

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LOGINID: sssptau129pxo
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
     * * * * * *
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                 "Ask CAS" for self-help around the clock
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                 PATDPAFULL - New display fields provide for legal status
NEWS 3
         FEB 28
                 data from INPADOC
                 BABS - Current-awareness alerts (SDIs) available
NEWS 4 FEB 28
NEWS 5 MAR 02 GBFULL: New full-text patent database on STN
NEWS 6 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 22 KOREAPAT now updated monthly; patent information enhanced
NEWS 9 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 10 MAR 22 PATDPASPC - New patent database available
NEWS 11 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 12 APR 04 EPFULL enhanced with additional patent information and new
                 fields
NEWS 13 APR 04 EMBASE - Database reloaded and enhanced
NEWS 14 APR 18 New CAS Information Use Policies available online
NEWS 15 APR 25 Patent searching, including current-awareness alerts (SDIs),
                 based on application date in CA/CAplus and USPATFULL/USPAT2
                 may be affected by a change in filing date for U.S.
                 applications.
                 Improved searching of U.S. Patent Classifications for
NEWS
     16 APR 28
                 U.S. patent records in CA/CAplus
                 GBFULL enhanced with patent drawing images
 NEWS
      17 MAY 23
                 REGISTRY has been enhanced with source information from
NEWS 18 MAY 23
                 CHEMCATS
      19 JUN 06
                 STN Patent Forums to be held in June 2005
 NEWS
 NEWS 20 JUN 06 The Analysis Edition of STN Express with Discover!
                  (Version 8.0 for Windows) now available
NEWS 21 JUN 13 RUSSIAPAT: New full-text patent database on STN
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                 and text labels
 NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
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=> FIL STNGUIDE

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FULL ESTIMATED COST 0.21 0.21

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FILE CONTAINS CURRENT INFORMATION.
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SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.06
0.27

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=> file reg

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.48

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STRUCTURE FILE UPDATES: 26 JUN 2005 HIGHEST RN 852987-17-8 DICTIONARY FILE UPDATES: 26 JUN 2005 HIGHEST RN 852987-17-8

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*\*

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\* the IDE default display format and the ED field has been added, '

Page 2

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
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L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 19:05:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 52 TO ITERATE

100.0% PROCESSED 52 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 608 TO 1472
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> search l1

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:. ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full FULL SEARCH INITIATED 19:05:40 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1047 TO ITERATE

100.0% PROCESSED 1047 ITERATIONS 67 ANSWERS

SEARCH TIME: 00.00.01

L3 67 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
169.93
170.41

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FILE COVERS 1907 - 27 Jun 2005 VOL 143 ISS 1 FILE LAST UPDATED: 26 Jun 2005 (20050626/ED)

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=> s 13

L4 64 L3

=> d 13 fbib ab hitstr 1-64
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d 14 fbib ab hitstr 1-64

- L4 ANSWER 1 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:600752 CAPLUS
- DN 141:277444
- TI New synthesis of 3-substituted indoles using lithium trimethylsilyldiazomethane
- AU Miyagi, Takashi; Hari, Yoshiyuki; Aoyama, Toyohiko
- CS Graduate School of Pharmaceutical Sciences, Nagoya City University, Mizuho-ku, Nagoya, 467-8603, Japan
- SO Tetrahedron Letters (2004), 45(33), 6303-6305 CODEN: TELEAY, ISSN: 0040-4039
- PB Elsevier
- DT Journal
- LA English
- OS CASREACT 141:277444
- AB Lithium trimethylsilyldiazomethane smoothly reacted with N-tosyl-o-acylanilines to give 3-substituted indoles in good to high yields.
- IT 4873-59-0
  - RL: RCT (Reactant); RACT (Reactant or reagent) (synthesis of 3-substituted indoles through intramol. N-H insertion of lithium trimethylsilyldiazomethane on N-tosyl-o-acylanilines)
- RN 4873-59-0 CAPLUS
- CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

# RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
L4
     2004:565050 CAPLUS
AN
     141:123471
DN
     Preparation of arylsulfonamide substituted carboxylic acids as asthma and
ΤI
     allergic inflammation modulators
     Fu, Zice; Huang, Xi Alan; Liu, Jiwen; Medina, Julio C.; Schmitt, Michael
IN
     J.; Tang, Lucy H.; Wang, Yingcai; Xu, Qingge
PA
     Tularik, Inc., USA
SO
     PCT Int. Appl., 132 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                        KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
                                            ______
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                                            WO 2003-US40617
                                                                   20031219
                                20040715
PΙ
    WO 2004058164
                         A2
                         A3
                                20040826
     WO 2004058164
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            US 2002-435366P
                                                             P 20021220
     US 2004220237
                         Α1
                                20041104
                                            US 2003-742281
                                                                   20031219
                                            US 2002-435366P
                                                                  20021220
OS
     MARPAT 141:123471
AB
     Title compds. I [Y = SO0-2; X = 0, SO0-2; R2 = (un) substituted phenyl; R3,
     R5 = H, halo, alkyl, fluoroalkyl, etc.; R4 = H, carboxamido, etc.; R6 = H,
     halo, alkyl, fluoroalkyl, etc.; R10 = H, alkyl, fluoroalkyl, etc.; L =
     alkylene, heteroalkylene, etc.; Z = carboxy, carboxamido, etc.; R14 =
    halo, alkyl, fluoroalkyl, etc.] are prepared For instance,
     [4-(2-nitro-4-trifluoromethylphenoxy)phenyl]acetic acid Me ester (preparation
    given) is reduced to the corresponding aniline (MeOH, H2-Pd/C),
     sulfonylated with TsCl and saponified (MeOH/H2O, LiOH) to give II.
     IC50 < 15 \mu M for the CRTH2 receptor. I modulate the function and/or
     expression of proteins involved in atopic diseases, inflammatory
     conditions and cancer.
IT
     721947-94-0P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
```

(preparation of arylsulfonamide substituted carboxylic acids as asthma and

(Preparation); RACT (Reactant or reagent); USES (Uses)

 ${\tt allergic\ inflammation\ modulators)}$ 

RN 721947-94-0 CAPLUS

CN Benzeneacetic acid, 3-[4-[(ethylamino)carbonyl]-2-[[(4-methylphenyl)sulfonyl]amino]benzoyl]-4-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:950984 CAPLUS

DN 140:5067

TI Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents

IN Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

I FIIV.	PATENT NO.				KIND DATE				APPLICATION NO.				DATE				
ΡI	WO					A1				WO 2							
		W :								BA, BB							
										DZ, EC							
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP, KE	, KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,
				•			•			MK, MN							
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG, SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UΖ,	VC,	VN,	ΥU,	ZA, ZM	, ZW						
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL, SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE, BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU, MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN, GQ	, GW,	ML,	MR,	NE,	SN,	TD,	TG
										US 2	2002-	3835	73P	E	2	00205	524
	CA	2485	681			AA		2003	1204	CA 2	2003-	2485	681		2	00305	521
											2002-						
										WO 2	2003-1	US16	090	V	1 2	00309	521
	US	2004	0389	76	A1 2004022			0226	US 2003-443155								
										US 2	2002-	3835	73P	E	2	00209	524
	EΡ	1507	756			A1 20050223		EP 3	2003-	7554	22		2	00305	521		
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB, GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY, AL	, TR,	BG,	CZ,	EE,	HU,	SK	
										US 2	2002-	3835	73P	E	2	00205	524
						WO 2003-US16090			V	1 2	00309	521					

OS MARPAT 140:5067

AB The title compds. [I; Y is C(0), O, S, S(0), or S(0)2; X1, X2, and X3 are

each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring

is substituted or unsubstituted; and Arl and Ar2 are each, independently, an (un) substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0 μM.

TT 747-99-9P 859-04-1P 94579-32-5P 169263-18-7P 169263-19-8P 169263-20-1P 314054-05-2P 392305-39-4P 628300-39-0P 628300-40-3P 628300-41-4P 628300-43-6P 628300-44-7P 628300-46-9P 628300-48-1P 628300-49-2P 628300-98-1P 628301-02-0P 628301-08-6P 628301-16-6P 628301-20-2P 628301-22-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)

RN 747-99-9 CAPLUS

Α

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 859-04-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 94579-32-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 169263-18-7 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 169263-19-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-chloro- (9CI) (CA INDEX NAME)

RN 169263-20-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-bromo- (9CI) (CA INDEX NAME)

RN 314054-05-2 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-3-nitro- (9CI) (CA INDEX NAME)

RN 392305-39-4 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-ethyl- (9CI) (CA INDEX NAME)

RN 628300-39-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-iodo- (9CI) (CA INDEX NAME)

RN 628300-40-3 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-(1,1-dimethylethyl)-

RN 628300-41-4 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

RN 628300-43-6 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 628300-44-7 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-ethyl- (9CI) (CA INDEX NAME)

RN 628300-46-9 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-(1-methylethyl)- (9CI)

(CA INDEX NAME)

RN 628300-48-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-methylbenzoyl)phenyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 628300-49-2 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-chloro- (9CI) (CA INDEX NAME)

RN 628300-98-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-chlorobenzoyl)phenyl]-4-ethyl- (9CI) (CA INDEX NAME)

RN 628301-02-0 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-chlorobenzoyl)phenyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 628301-08-6 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[4-chloro-2-(2-fluorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)

RN 628301-16-6 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-cyano- (9CI) (CA INDEX NAME)

RN 628301-20-2 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-3-bromo- (9CI) (CA INDEX NAME)

RN 628301-22-4 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-2-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:533368 CAPLUS

DN 139:230297

TI 1H, 13C and 15N NMR spectral and X-ray structural studies of 2-arylsulfonylamino-5-chlorobenzophenones

AU Kolehmainen, E.; Nissinen, M.; Janota, H.; Gawinecki, R.; Osmialowski, B.

CS Department of Chemistry, University of Jyvaeskylae, Jyvaeskylae, FIN-40014, Finland

SO Polish Journal of Chemistry (2003), 77(7), 889-894 CODEN: PJCHDQ; ISSN: 0137-5083

PB Polish Chemical Society

DT Journal

LA English

AB Six 2-(4-R-phenylsulfonylamino)-5-chlorobenzophenones were prepared and their 1H, 13C and 15N NMR spectra recorded and assigned. The dependence between the chemical shift of the amide proton and Hammett  $\sigma$ substituent consts. is of the V type. Substituent effect on the chemical shift of the amide nitrogen atom was found insignificant. X-ray anal. shows that the terminal benzene rings in 2-(4-nitrophenylsulfonylamino)-5chlorobenzophenone are located close to each other. They are not, however, parallel, dihedral angle between them being equal to 10.86 deg (MP2/6-31G\*\*/HF/6-31G\*\* ab initio calcns. show this to be 20.44 deg). This shows that the mutual orientation of two benzene rings in the mol. of this compound is caused by the  $\pi$ - $\pi$  stacking. It is addnl. reinforced by the intramol. NH···O:C hydrogen bond. Except the dihedral angle between the benzene rings, X-ray determined structure of 2-(4-nitrophenylsulfonylamino)-5-chlorobenzophenone is very similar to this optimized by the ab initio calcns.

IT 4873-59-0 169263-19-8 169263-20-1

RL: PRP (Properties)

(proton, carbon-13, and nitrogen-15 NMR and crystallog. study of 2-arylsulfonylamino-5-chlorobenzophenones)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 169263-19-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-chloro- (9CI) (CA INDEX NAME)

RN 169263-20-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-bromo- (9CI) (CA INDEX NAME)

# RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:861062 CAPLUS

DN 139:197300

TI Product class 13: indole and its derivatives

AU Joule, J. A.

CS Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK

SO Science of Synthesis (2001), 10, 361-652 CODEN: SSCYJ9

PB Georg Thieme Verlag

DT Journal; General Review

LA English

AB A review of preparation of indoles and its derivs. Covered reactions include

cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.

IT 4142-76-1

> RL: RCT (Reactant); RACT (Reactant or reagent) (review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

RN 4142-76-1 CAPLUS

Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt CN (CA INDEX NAME)

### Na

## RE.CNT 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4

2001:18962 CAPLUS AN

DN 134:86383

Preparation and effect of phosphonic acid diester derivatives as ΤI antidiabetics

Miyata, Kazuyoshi; Tsuda, Yoshihiko; Inoue, Yasuhide IN

PA Ohtsuka Pharmaceutical Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 18 pp. SO CODEN: JKXXAF

DT Patent

LΑ Japanese FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	JP 2001002687	A2	20010109	JP 1999-172175	19990618	
				JP 1999-172175	19990618	

OS MARPAT 134:86383

Title compds. [ANR3SO2Q(CH2)nP(:0)(OR1)(OR2); A = 2-CH3NHCO-3-ClC6H3, AB 2-CH3NHCO-3-FC6H3, 2-CH3NHCOC6H4, 2-CH3OCO-4-CH3OCOC6H3, 2-CH3CO-4-BrC6H3, 2-CH3NHCO-5-ClC6H3, 2-HOOCC6H4; R1 = H, CH3CH2; R2 = H, CH3CH2; R3 = H, CH3, C6H5CH2; Q(CH2)n = 4-C6H4CH2, 4-C6H4CH2CH2, (CH2)2, (CH2)3] are prepared as antidiabetics with ability of lowering the blood sugar level. Thus, the title compound I was prepared and tested.

IT 316380-07-1P

> RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation and effect of phosphonic acid diester derivs. as antidiabetics)

RN 316380-07-1 CAPLUS

Phosphonic acid, [[4-[[(2-benzoyl-4-chlorophenyl)amino]sulfonyl]phenyl]met CN hyl]-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:446552 CAPLUS

DN 133:266698

TI Synthesis and characterization of substances related to nifedipine and diazepam to establish them as official standards

AU Sorla A., Olivia; Perez M., Herminia I.; Manjarrez A., Norberto; Cejundo U., Blanca L.

CS Mex.

SO Revista Mexicana de Ciencias Farmaceuticas (2000), 31(1), 7-10 CODEN: RMCFDT; ISSN: 1027-3956

PB Asociacion Farmaceutica Mexicana

DT Journal

LA Spanish

AB 4-(2-Nitrosophenyl)-3,5-dicarbomethoxy-2,6-dimethylpyridine and 4-(2-nitrophenyl)-3,5-dicarbomethoxy-2,6-dimethylpyridine (substances related to nifedipine) and 2-methylamino-5-chlorobenzophenone and 7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one (substances related to diazepam) were prepared and characterized for the Mexican National Laboratory of Public Health to be further established as official stds.

#### IT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and characterization of substances related to nifedipine and diazepam)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:811922 CAPLUS

DN 123:285437

TI Synthesis of substituted amides and their bioactivity

AU Wu, Jingping; Chen, Fuheng

CS Department of Applied Chemistry, Beijing Agricultural University, Beijing, 100094, Peop. Rep. China

SO Yingyong Huaxue (1995), 12(4), 80-3 CODEN: YIHUED; ISSN: 1000-0518

PB Yingyong Huaxue Bianji Weiyuanhui

DT Journal

LA Chinese

AB Thirty substituted amides e.g. 2,4-RClC6H3NHXR1 (R = Bz, PhCHOH, R1 = substituted Ph; X = CO, SO2) have been synthesized from 5-chloro-2-aminobenzophenone. Most of the compds. showed an inhibition effect on rice growth.

IT 4873-59-0P 169263-18-7P 169263-19-8P 169263-20-1P 169263-21-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis of substituted amides and their plant growth regulator activity)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 169263-18-7 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 169263-19-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-chloro- (9CI) (CA INDEX NAME)

RN 169263-20-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-bromo- (9CI) (CA INDEX NAME)

RN 169263-21-2 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-2,4-dichloro- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:777639 CAPLUS

DN 123:198616

TI Preparation of N-sulfonylindoline derivatives with affinity for vasopressin and oxytocin receptors

IN Wagnon, Jean; de Cointet, Paul; Nisato, Dino; Plouzane, Claude; Sereadeil-Legal, Claudine; Tonnerre, Bernard

PA Elf Sanofi SA, Fr.

SO U.S., 50 pp. Cont.-in-part of U.S. Ser. No.737,655, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI US 5338755	A	19940816	US 1992-923839 FR 1990-9778 US 1991-737655 FR 1991-9908	B2	19920803 19900731 19910730 19910802

FR	2665441	A1	19920207	FR	1990-9778		19900731
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IL	114934	A1	19960804	IL	1991-114934		19910730
					1990-9778	Α	19900731
				IL	1991-99012	<b>A</b> 3	19910730
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סים	2679903	A1	19930205		1991-9908		19910802
	2679903	B1	19931203		1331 3300		
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BR	9205336	A	19931116		1992-5336	_	19920731
					1991-9908	A	19910802
					1992-FR758	A	19920731
JP	06501960	T2	19940303		1993-503337		19920731
					1991-9908	Α	19910802
					1992-FR758	W	19920731
RU	2104268	C1	19980210	RU	1993-5168		19920731
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				WO	1992-FR758	W	19920731
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				FR	1991-9908	Α	19910802
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CZ	288173	В6	20010516		1993-682		19920731
CZ	200173	ВО	20010510		1991-9908	Α	19910802
					1993-682	A	19920731
CIN.	2206776	С	20020226		1992-2206776		19920731
CA	2206776	C	20020226		1991-9908	7.	19910802
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					1992-2093221	A3	19920731
SK	283463	B6	20030805		1993-426	_	19920731
					1991-9908	A	19910802
					1992-FR758	W	19920731
	9301262	A	19930526	NO	1993-1262		19930401
	180047	В	19961028				
NO	180047	C	19970205				
				FR	1991-9908	Α	19910802
				WO	1992-FR758	W	19920731
FI	104069	B1	19991115	FΙ	1993-1476		19930401
				FR	1991-9908	Α	19910802
				WO	1992-FR758	W	19920731
US	5397801	Α	19950314	US	1994-240360		19940510
				FR	1990-9778	Α	19900731
				US	1991-737655	B2	19910730
					1991-9908	Α	19910802
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					1991-9908	A	19910802
					1993-923839		19930803
					1994-240360		19940510
HC	5578633	A	19961126		1995-458614	A)	19950602
US	JJ 100JJ	A	19901120		1995-458614	70	19900731
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					1991-9908	A	19910802
					1992-923839		19920803
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FI 107048   B1   20010531   FR 1991-9908   A 19910802   W0 1992-FR758   W 19920731   FR 1993-1476   W 19920731   FR 1993-1476   W 19920731   FR 1993-1476   W 19920731   FR 1993-1476   W 19930401   FR 1993-1402123   FR 19930401   FR 19930411   FR 1993041   FR 19930			9800175		A		1998(		F		1994-348150 1998-175		А3	19941128 19980127
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PI EP 469984 A2 1992025 EP 1991-402123 19910730 EP 469984 B1 19951018 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE FR 1990-9778 A 19900731 FR 2665441 B1 19921204 FI 9103614 A 19920201 FI 1991-3614 19910729 FI 97224 B 19960731 FI 977224 C 19961111 CA 2048139 AA 19920201 CA 1991-2048139 19910730 CA 2048139 C 20020212 FR 1990-9778 A 19900731 NO 9102970 A 19920203 NO 1991-2970 19910730 NO 175254 B 19940613 NO 175254 C 19940921 AT 129236 E 19951115 AT 1991-402123 19910730 ES 2080922 T 3 19960216 ES 1991-402123 19910730 IL 199012 A1 19960723 IL 1991-9778 A 19900731 IL 114934 A1 19960804 FR 1990-9778 A 19900731 IL 114934 A1 19960804 IL 1991-14934 19910730 AU 9181478 A1 19920206 AU 1991-2552 A 19910731 JP 04234361 A2 1992029 HU 1991-2552 19910731 JP 04234361 A2 1992084 JP 1991-152078 PR 1990-9778 A 19900731 JP 04234361 A2 1992084 JP 1991-152078 19910731 JP 04234361 A2 1992084 JP 1991-2552 19910731 JP 04234361 A2 1992080 KR 1991-12078 19910731 JP 04234361 A2 1992084 JP 1991-2552 A 19910731 JP 04234361 A2 1992080 HU 1991-2552 A 19910731 JP 04234361 A2 1992084 JP 1990092 A 19910731 JP 04234361 A2 1992084 JP 1990092 A 19910938 A 19910938 A	FAN													
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE FR 1990-9778 A 19900731 FR 2665441 B1 19921204 FI 9103614 A 19920201 FI 1991-3614 19910729 FI 97224 B 19960731 FI 97224 C 19961111 FR 1990-9778 A 19900731 CA 2048139 AA 19920201 CA 1991-2048139 19910730 CA 2048139 C 2002012  FR 1990-9778 A 19900731 NO 9102970 A 19920203 NO 1991-2970 19910730 NO 175254 B 19940613 NO 175254 C 19940921 FR 1990-9778 A 19900731 AT 129236 E 19951115 AT 1991-402123 19910730 ES 2080922 T3 19960216 ES 1991-402123 19910730 FR 1990-9778 A 19900731 LL 99012 A1 19960724 ES 1991-402123 19910730 FR 1990-9778 A 19900731 IL 114934 A1 19960804 IL 1991-114934 19910730 FR 1990-9778 A 19900731 IL 114934 A1 19960804 IL 1991-114934 19910730 AU 9181478 A1 19920206 AU 1991-81478 A 19900731 AU 9181478 A1 19920206 AU 1991-81478 A 19900731 AU 9181478 A1 19920206 AU 1991-81478 A 19900731 AU 9181478 A1 19920206 AU 1991-81478 A 19910730 FR 1990-9778 A 19900731 AU 9181478 A1 19920206 AU 1991-81478 A 19910730 FR 1990-9778 A 19910731 AU 645585 B2 19940120 FR 1990-9778 A 19900731 JP 04234361 A2 19920824 JP 1991-192078 A 19910731 HU 59669 A2 19920829 HU 1991-2552 19910731 FR 1990-9778 A 19900731 JP 04234361 A2 19920824 JP 1991-192078 A 19900731 HU 219351 B 20010806 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1971-99045 19910731 FR 1990-9778 A 19900731 HU 219351 B 20010328 HU 1991-32675		EP	469984		A3		19920		-					
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				WO	1992-FR758	W	19920731
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				FR	1991-9908	Α	19910802
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				FR	1991-9908	Α	19910802
				WO	1992-FR758	W	19920731
				FΙ	1993-1476	Α	19930401

OS MARPAT 123:198616

AB Title compds. I (R'1 = halo, C1-4 alkyl, HO, C1-4 alkoxy, PhCH2O, NC, F3C, O2N, H2N; R'2 = C1-6 alkyl, C3-7 cycloalkyl, C5-7 cycloalkylene, (substituted) Ph, etc.; R'3 = H; R'4 = H2NCO, R'6R'7NCO wherein R'6R'7N = saturated 5-membered substituted N-heterocyclyl; R'5 = C1-4 alkyl, 1-, 2-naphthyl, (substituted) Ph, etc.; n = m = 0-2) or a salt thereof, are prepared CH2BrCONMe2 (preparation given) and 5-chloro-2-(tosylamino)phenyl cyclohexyl ketone were reacted to give 2-[N-tosyl-N-(dimethylcarbamoylmethyl)amino]-5-(chlorophenyl) cyclohexyl ketone which in THF was treated with Li diisopropylamide to give after workup trans-I (R'1n = 5-C1, R'2 = cyclohexyl, R'3 = H, R'4 = Me2NCO, R'5 = 4-MeC6H4, m = 0). The IC50 of I affinity for oxytocin receptors was 10-5-10-8M.

IT 5649-39-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of N-sulfonylindoline derivs. with affinity for vasopressin and oxytocin receptors)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

## IT 140916-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

RN 140916-59-2 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-cyano- (9CI)

#### (CA INDEX NAME)

L4 ANSWER 10 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1993:147270 CAPLUS

DN 118:147270

TI Antiarrhythmic amidinohydrazones of substituted benzophenones. Part 1: synthesis of new amidinohydrazones and N-phenylamidinohydrazones of substituted benzophenones

AU Richter, P. H.; Kasbohm, K.; Besch, A.; Hagen, A.

CS Fachbereich Pharm., Ernst-Moritz-Arndt-Univ., Greifswald, Germany

SO Pharmazie (1992), 47(10), 758-64 CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

AB Title compds. I (R = NH2, NMe2, NHBz, NHCO2Et, NHSO2Me, NHSO2C6H4Me-4, Br, CO2H, Cl, OH, OMe, NO2, Me, F, NHMe; R1 = H, NH2, Br, Cl, Me, NO2, OH, NMe2; R2 = H, Cl, Me, OH, NO2, NH2, NMe2; R3 = H, Ph) (70 compds.) were prepared, mostly from the ketones and H2NNHC(=NH)NHR3.

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with aminoquanidine)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:214341 CAPLUS

DN 116:214341

TI Preparation of 1-arylsulfonyl-3-hydroxyindoline-2-carboxylates and analogs as vasopressin and oxytocin receptor ligands

IN Wagnon, Jean; De Cointet, Paul; Nisato, Dino; Plouzane, Claude; Serradeil-Legal, Claudine

PA Sanofi SA, Fr.

SO Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW Patent

חיים	CODEN: EPXXDW Patent				
DT LA	French				•
	CNT 3				
1111.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 469984	A2	19920205	EP 1991-402123	19910730
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	EP 469984	B1	19951018		
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	FR 2665441	B1	19921204	ET 1001 2614	10010720
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	FI 97224	B C	19960731		
	FI 97224	C	19961111	FR 1990-9778	A 19900731
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	CA 2048139	C	20020212	FR 1990-9778	A 19900731
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	NO 175254	В	19940613	10 1991 29.0	
	NO 175254 NO 175254	Č	19940921		
	NO 173231	Č	23310341	FR 1990-9778	A 19900731
	AT 129236	E	19951115	AT 1991-402123	19910730
		_			A 19900731
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	A0 004491	22	17751110	FR 1990-9778	A 19900731
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					A3 19930803
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PATE	NT FAMILY INFORMAT	ION:			
FAN	1993:539091				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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PI	EP.	526340			D1		1999	1212		_	. , , 2 1022				
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F	I 107048	B1	20010531				
					1991-9908	Α	19910802
					1992-FR758	W	19920731
				FΙ	1993-1476	A	19930401

#### OS MARPAT 116:214341

Title compds. [I; R1 = halo, alkyl, alkoxy, PhCH2O, etc.; R2 = (cyclo)alkyl, cycloalkenyl, (substituted) Ph; R3 = H, alkyl; R4 = CO2H, alkoxycarbonyl, CO2CH2Ph, (substituted) CONH2; R5 = alkyl, naphthyl, (substituted) Ph, etc.; m, n = 0-2] were prepared Thus, 4,2-Cl(R2CO)C6H3R (R2 = cyclohexyl) (II; R = NH2) was condensed with 1-naphthylsulfonyl chloride and the product condensed with BrCH2CO2Et to give II [R = N(CH2CO2Et)SO2R5; R5 = 1-naphthyl] which was treated with NaOMe/MeOH to give title compound III (cis and trans isomers). I had IC50 of .apprx.10-7M against oxytocin binding with a membrane preparation from pregnant rats.

### IT 140916-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of vasopressin and oxytocin receptor

ligands)

RN 140916-59-2 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-cyano- (9CI) (CA INDEX NAME)

IT 5649-39-8

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in preparation of vasopressin and oxytocin receptor ligands)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:140597 CAPLUS

DN 116:140597

TI Crystal and molecular structure of 2-N-tosylamino-5-bromobenzophenone

AU Gifeisman, T. Sh.; Dvorkin, A. A.; Simonov, Yu. A.; Andronati, S. A.; Pavlovskii, V. I.; Yavorskii, A. S.

CS Inst. Prikl. Fiz., Kishinev, USSR

SO Zhurnal Strukturnoi Khimii (1991), 32(5), 148-50

CODEN: ZSTKAI; ISSN: 0136-7463

DT Journal

LA Russian

AB The title compound is monoclinic, space group 21/b, with a 10.681(4), b 19.462(8), c 8.959(4) Å, and  $\gamma$  95.99(2)°; d. (calculated) = 1.543 for Z = 4. Final R = 0.081 for 1298 reflections. Atomic coordinates are given. There is a strong intramol. H bond N-H...O. Substitution on the amino group has little affect on the configuration of the central part of the mol.

IT 94579-32-5, 2-N-Tosylamino-5-bromobenzophenone
RL: PRP (Properties)

(crystal structure of)

RN 94579-32-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1990:497463 CAPLUS

DN 113:97463

Preparation of (phenylureido) phenylquinolines as acyl-CoA: cholesterol acyltransferase (ACAT) inhibitors
Meguro, Kanji; Ikeda, Hitoshi
Takeda Chemical Industries, Ltd., Japan
Eur. Pat. Appl., 56 pp. TI

IN

PA

SO

CODEN: EPXXDW

Patent DT

LA		glish									
FAN.	PA'	I TENT NO.			KIN		DATE		PLICATION NO.		DATE
ΡI		354994			A2		19900221		1989-112683	_	19890711
	ΕP	354994			A3		19910515				
	EP	354994			В1		19950125				
		R: AT,	BE,	CH,	DE,	ES,	FR, GB,		T, LI, LU, NL		
									1988-174137		19880712
									1988-214266		
								JP	1989-75925	Α	19890327
	$_{ m IL}$	90815			A1	٠	19930708	IL	1989-90815 1988-174137		19890630
								JP	1988-174137	Α	19880712
									1988-214266		
									1989-75925	A	19890327
		03007259			A2		19910114		1989-174390		19890706
	JР	07053714			B4		19950607				
								JP	9 1988-174137 9 1988-214266	Al	19880712
								JP	9 1988-214266	Al	19880829
					_				1989-75925		
		8902851			A		19900115		1989-2851		19890/10
		177300			В		19950515				
	NO	177300			С		19950823		1988-174137	7	10000712
									1988-174137		
									1989-75925		
	DΤ	8903361			Α		19900113		1989-3361	Α.	19890711
		93353			В		19941215		. 1909-3301		10000711
		93353			C		19950327				
	1. 1	73333			C		1000027		1988-174137	Δ	19880712
									1988-214266		
									1989-75925		19890327
	AU	8938025			A1		19900118		J 1989-38025	••	19890711
		616542			B2		19911031				
									1988-174137	Α	19880712
									1988-214266		19880829
									1989-75925		19890327
	CN	1039416			Α		19900207		1989-104812		19890711
	CN	1028754			В		19950607				
								JP	1988-174137	Α	19880712
								JF	1989-75925	Α	19890327
	HU	52059			A2		19900628	HU	J 1989-3485		19890711
	HU	210861			В		19950828				
									1988-174137	Α	19880712
	CA	1333068			A1		19941115		1989-605291		19890711
									9 1988-174137	Α	19880712
							-		1988-214266	Α	19880829
									1989-75925	Α	19890327
	ES	2066808			Т3		19950316		1989-112683	_	19890711
									1988-174137	A	19880712
									1988-214266	A	19880829
					_				1989-75925	A	19890327
	DΚ	8903459			Α		19900113	DK	( 1989-3459		19890712

			JP 1988-174137	Α	19880712
			JP 1988-214266	Α	19880829
			JP 1989-75925	Α	19890327
ZA 8905305	Α	19900530	ZA 1989-5305		19890712
			JP 1988-174137	Α	19880712
SU 1838301	A3	19930830	SU 1989-4742609		19891208
			JP 1988-174137	Α	19880712
			JP 1989-75925	Α	19890327
US 5254565	Α	19931019	US 1991-807813		19911216
			JP 1988-174137	Α	19880712
			JP 1988-214266	Α	19880829
			JP 1989-75925	Α	19890327
			US 1989-377136	B1	19890710

OS MARPAT 113:97463

The title compds. [I; R = H, alkyl, aralkyl; R1-R3 = H, 1-4 halo, (halo)alkyl, alkoxy, alkylthio, (un)esterified CO2H NO2, OH, C1-4 acyloxy, C1-3 acyl; m, n = 0, 1] or their pharmaceutically acceptable salts and carriers or diluents, useful for preventing and treating hypercholesterolemia, atherosclerosis, myocardial and cerebral infarction, cerebral apoplexy, etc., were prepared, e.g., by an addition reaction of 3-aminoquinolines with isocyanates C6H5(CH2)nNCO (n as defined). A mixture of 3-amino-6-chloro-4-phenylquinoline and 2,4-F2C6H3NCO in THF was allowed to stand 20 h at room temperature to give 77.8% I (R = R2 = H, R1 = 6-Cl, R3 = 2,4-F2, m = n = 0) (II). In rats, 10-6M II inhibited 88.3% production of the labeled cholesterol ester from [1-14C]oleoyl-CoA and endogenous cholesterol. Three other I in cholesterol fed rats reduced plasma cholesterol level from 240 ± 85 mg/dL for the control to 119 ± 46 - 143 ± 21 mg/dL. A tablet containing I was formulated.

IT 128832-47-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of anticholesteremic)

RN 128832-47-3 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-hydroxy-3,4-dimethoxybenzoyl)phenyl]-4-methyl-(9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:195923 CAPLUS

DN 108:195923

TI Electrophotographic photoreceptor containing bisazo compound as

charge-generating substance

IN Hirose, Hisahiro; Kinoshita, Akira; Sawada, Kiyoshi; Yamazaki, Hiroshi; Watanabe, Kazumasa

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 62269146	A2	19871121	JP 1986-113286	19860516
				JP 1986-113286	19860516

AB In an electrophotog, photoreceptor containing a bisazo compound as a charge-generating substance, the bisazo compound is at least partially aggregated and the visible maximum absorption peak of the aggregate is ≥100 nm longer than that of the bisazo compound The preferable bisazo compound has the general formula I [A = Y or N:CHY; Y = (substituted) aromatic group; Q1 = :CQ2Q3; Q2, Q3 = H, CN, alkyl, (substituted) aromatic group, halogen, vinyl, acyl or ester, or Q2 and Q3 may form a ring with other group; P1, P2 = H, Me, methoxy]. The electrophotog, photoreceptor shows excellent chargeability and storage stability.

IT 114190-46-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, electrophotog. charge-generating substance from)

RN 114190-46-4 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-[5-methyl-2-(4-methylbenzoyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:131304 CAPLUS

DN 108:131304

TI 2-Arylsulfonamidobenzophenones and -acetophenones and their oximes

IN Schewe, Tankred; Rapoport, Samuel Mitja; Beger, Joerg; Kuehn, Hartmut; Binte, Hans Joachim; Slapke, Juergen

PA VEB Fahlberg-List, Ger. Dem. Rep.

SO Ger. Offen., 44 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	DE 3544409	A1	19861016	DE 1985-3544409		19851216
				DD 1984-271462 A	12	19841221

DD 251126 A1 19871104 DD 1984-271462 19841221 CH 670389 A 19890615 CH 1985-5505 19851223 DD 1984-271462 A 19841221

OS CASREACT 108:131304

The title compds. (I; R = Me, Ph, p-substituted Ph; R1 = H, alkyl, alkoxy, amino, acylamino; R2 = H, halo, NO2, amino, acylamino; X = O, oximino) were prepared as lipoxygenase and cyclooxygenase inhibitors. Thus, 0.02 mol 2-(p-methoxybenzenesulfonamido)acetophenone in EtOH was treated with 0.044 mol NH2OH.HCl in pyridine and the mixture was refluxed for 3 h to give 90% I (R = Me, R1 = 4-MeO, X = NOH, R2 = H) which at 50  $\mu$ M showed 80% inhibition of arachidonic acid-induced contractions in guinea pigs vs. 30% for benoxaprofen.

IT 4873-59-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as cyclooxygenase and lipoxygenase inhibitor)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1987:598158 CAPLUS

DN 107:198158

TI Synthesis and pharmacological activities of 3-phenylindazole derivatives

AU Fujimura, Yasuo; Ikeda, Yugo; Matsunaga, Isao

CS Cent. Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, 171, Japan

SO Yakugaku Zasshi (1986); 106(11), 995-1001 CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Japanese

OS CASREACT 107:198158

AB 3-Phenylindazoles I [R = H, Cl, Br, Me; R1 = NH2, NHMe, NMe2, NEt2, NCH2CH:CH2)2, piperidino, morpholino, 4-methylpiperazino; n=2,3] were prepared by diazotization and cyclization of benzophenones II. I (n=3, R = Me, R1 = NHMe; n=3, R = H, Me, Br, R1 = NMe2) were as effective in preventing reserpine-induced hypothermia as imipramine.

IT 111016-39-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 111016-39-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-methylphenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

L4 ANSWER 17 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1987:175880 CAPLUS

DN 106:175880

TI [5,5] Sigmatropic rearrangement of arylhydrazones followed by 1,2-shift of an aryl group. VII

AU Sannicolo, Franco

CS Ist. Chim. Ind., Univ. Milano, Milan, I-20133, Italy

SO Gazzetta Chimica Italiana (1985), 115(2), 91-5

CODEN: GCITA9; ISSN: 0016-5603

DT Journal

LA English

OS CASREACT 106:175880

AB The arylhydrazones I (R = Me, H, R1 = CO2Et; R = R1 = Me) rearranged in hot polyphosphoric acid to give bisphenyl derivs. arising from a [5,5]-sigmatropic rearrangement followed by an aryl group 1,2-shift. Thus, I (R = Me, R1 = CO2Et) was treated with polyphosphoric acid at 100° for 3 min to give the biphenylylglyoxylate II and the fluorenone III.

IT 107642-75-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion to aminomethoxytetramethyldiphenyl ketone)

RN 107642-75-1 CAPLUS

CN Benzenesulfonamide, N-[2-(4-methoxy-3,5-dimethylbenzoyl)-3,5-dimethylphenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1985:560483 CAPLUS

DN 103:160483

TI Macrocyclic (amidoacyl)hydrazones

AU Yavorskii, A. S.; Bondarev, M. L.; Andronati, S. A.; Terent'ev, P. B.

CS Fiz.-Khim. Inst., Odessa, 270080, USSR

SO Khimiya Geterotsiklicheskikh Soedinenii (1985), (7), 991-5 CODEN: KGSSAQ; ISSN: 0453-8234

DT Journal

LA Russian

OS CASREACT 103:160483

The title compds. I (R1 = Br, Cl, Me, R2 = Ph; R1 = Br, R2 = o-ClC6H4) were prepared in 85-90% yields in 4 steps from benzophenones II by treatment with N2H4.H2O, reaction with (COCl)2, hydrolysis to give dihydrazide III, and ring closure by (COCl)2.

IT 4873-59-0 28561-54-8 94579-32-5

98608-63-0

RL: PROC (Process)

(hydrazone formation of, with hydrazine hydrate)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 28561-54-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 94579-32-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 98608-63-0 CAPLUS

Care Charles

CN Benzenesulfonamide, N-[4-bromo-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1984:5549 CAPLUS

DN 100:5549

TI Carbanionically induced [1,3]-migrations of  $\pi$ - and coordinatively unsaturated groups

AU Hellwinkel, Dieter; Laemmerzahl, Frank; Hofmann, Gunter

CS Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, D-6900/1, Fed. Rep. Ger.

SO Chemische Berichte (1983), 116(10), 3375-405 CODEN: CHBEAM; ISSN: 0009-2940

DT Journal

LA German

OS CASREACT 100:5549

AB I (R = Ph, CMe3; X = O, NMe) reacted under mild conditions to give intensely colored Li derivs. of o-acylphenols and o-acylanilines, which were then hydrolyzed to II. Analogous reactions occurred with III, IV, and V. In the case of Me3CCON(C6H4Me-p)2, such a [1,3] rearrangement could be induced by direct metalation of the educt, but with Me3CCONMePh exclusive metalation of the N-Me group occurred, followed by [1,2] migration of the pivaloyl group. Similar rearrangement of VI, followed by alkylation of the product, gave VII (R = Me, Bu). Only the Bz group underwent a [1,3] shift in VIII. The migration tendencies of the Me3Si and Bz groups in IX were the same.

IT 87995-70-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 87995-70-8 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-[4-methyl-2-(4-methylbenzoyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:539507 CAPLUS

DN 99:139507

TI N-Methyl-2-(p-toluenesulfonamido)-5-chlorobenzophenone

PA East India Pharmaceutical Works Ltd., India

SO Indian, 6 pp. CODEN: INXXAP

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	IN 150962	Α	19830129	IN 1981-CA504	19810513
				IN 1981-CA504	19810513

AB The conversion of benzophenone derivative I (R = H) to N-Me derivative I (R = H)

Me)

was catalyzed by Me(CH2)15N+Me3 Br- (II). I (R = H) was treated with Me2SO (or MeI), NaOH (or KOH) and II in C6H6 (or PhMe, or CH2Cl2) to give 95-97% I (R = Me).

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (N-methylation of, catalysts for)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1983:406040 CAPLUS

DN 99:6040

TI Substituted 2-benzoyl-4-chloroglycinanilide derivatives and their use as medicaments

IN Mouzin, Gilbert; Cousse, Henri; Stenger, Antoine; Casadio, Sylvano

Fabre, Pierre, S. A., Fr. PA

U.S., 12 pp. Cont.-in-part of U.S. Ser. No. 916,651, abandoned. SO

CODEN: USXXAM

DT Patent

English LA

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	US 4372975	A	19830208	US 1980-200622		19801027
				FR 1977-18511	Α	19770616
				US 1978-916651	A2	19780619
	FR 2403330	A1	19790413	FR 1977-18511		19770616
	FR 2403330	В1	19821105			

Α

PATENT FAMILY INFORMATION:

FAN	1979:420092 PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	JP 54036238	A2	19790316	JP 1978-73141	-	19780616
				FR 1977-18511	Α	19770616
	FR 2403330	A1	19790413	FR 1977-18511		19770616
	FR 2403330	B1	19821105			
					Α	
	EP 299	A1	19790110	EP 1978-400009		19780601
	EP 299	B1	19801112			
	R: BE, CH, DE,	FR, GB	, NL			
				FR 1977-18511		19770616
	ZA 7803410	A	19790627	ZA 1978-3410		19780614
				FR 1977-18511	Α	19770616
	CA 1124256	A1	19820525	CA 1978-305549		19780615
				FR 1977-18511	Α	19770616
	ES 470861	A1	19790201	ES 1978-470861		19780616
				FR 1977-18511	Α	19770616

AB Title compds. I (R = allyl, methylallyl, diethylpropargyl, ethynylcyclohexyl, cyclopropyl) were prepared as central nervous system agents. Thus, benzophenone II (R1 = R2 = H) was tosylated to give 95% II (R1 = tosyl, R2 = H), which was methylated with Me2SO4 to give 87% II (R1 = tosyl, R2 = Me), which was detosylated by 96% H2SO4 to give 85% II (R1 = H, R2 = Me). The latter was N-acylated with BrCH2COCl to give 82% II (R1 = BrCH2CO, R2 = Me), which was treated with H2NCMe2C.tplbond.CH and then with HCl to give I.HCl (R = CMe2C.tplbond.CH) (III). III exhibited anti-pentamethylene tetrazole activity in mice with an ED50 of 1.5 mg/kg p.o.

## IT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-methylation of)

RN 4873-59-0 CAPLUS

Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) CN INDEX NAME)

L4 ANSWER 22 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:472335 CAPLUS

DN 97:72335

Quinazolines and 1,4-benzodiazepines. 91. Structure-activity relationship between substituted 2-amino-N-(2-benzoyl-4-chlorophenyl)acetamides and 1,4-benzodiazepinones

AU Fryer, R. Ian; Leimgruber, Willy; Trybulski, Eugene J.

CS Chem. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SO Journal of Medicinal Chemistry (1982), 25(9), 1050-5 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

2-Amino-N-(2-benzoyl-4-chlorophenyl)acetamides, e.g. I, were prepared from 3,6-Cl(O2N)C6H3CHO in several steps. The pharmacol. properties of these compds. were compared with data obtained from the corresponding cyclized products [5-(2,6-dichlorophenyl)-1,4-benzodiazepin-2-ones], e.g. II. Evidence is presented which suggests that the central nervous system activity observed for 1,4-benzodiazepines is inherent only in the closed seven-membered ring and is not due to the ring-opened form.

IT 82082-27-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and methylation of)

RN 82082-27-7 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2,6-dichlorobenzoyl)phenyl]-4-methyl-(9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:142456 CAPLUS

DN 96:142456

TI Benzodiazepine intermediates

IN Mayer, Joseph; Peer, Lydia; Babad, Esther

PA Schering Corp., USA

SO U.S., 4 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	US 4312996	Α	19820126	US 1980-221136		19801229
				US 1980-221136 A	Ą	19801229

OS CASREACT 96:142456

AB I (R = PhSO2 or C1-C6 alkyl-substituted derivs.; R1 and R2 independently

are H, halo, CF3, NO2, C1-C6 alkyl or alkoxy) were prepared and hydrolyzed to I (R = H), which are intermediates in the preparation of benzodiazepines such as halazepam. Thus, I (R = PhSO2, R1 = 5-C1, R2 = H) was prepared by alkylation of 5,2-Cl(H2N)C6H3COPh with PhSO2OCH2CF3 by refluxing a C6H4Et2 solution containing Na2CO3 and K2CO3.

ΙT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-trifluoroethylation of)

RN 4873-59-0 CAPLUS

Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA CN INDEX NAME)

ANSWER 24 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4

1982:34558 CAPLUS AN

DN 96:34558

Hyperfluorinated alkanesulfonates and their use as 2,2,2-ΤI trifluoroethylating agents

Perlotto, Tito IN

Fabbrica Italiana Sintetici S.p.A., Italy PA

SO Fr. Demande, 13 pp.

CODEN: FRXXBL

DTPatent

LΑ French

FAN.CNT	1						•
	TENT NO.	KIND	DATE	API	PLICATION NO.		DATE
PI FR	2470119	A1	19810529	FR	1980-24664	-	19801120
	2470119	B1	19840928				
2				GB	1979-40622	Α	19791123
				GB	1979-40623	A	19791123
CH	645617	Α	19841015	CH	1980-8507		19801117
-				GB	1979-40622	Α	19791123
				GB	1979-40623	Α	19791123
GB	2065112	Α	19810624	GB	1980-37131		19801119
				GB	1979-40622	Α	19791123
				GB	1979-40623	Α	19791123
JP	56087553	A2	19810716	JP	1980-165224		19801121
JР	02024807	B4	19900530				
				GB	1979-40622	Α	19791123
				GB	1979-40623	Α	19791123
DE	3043950	A1	19810903	DE	1980-3043950		19801121
DE	3043950	C2	19900802				
				GB	1979-40622	Α	19791123
				GB	1979-40623	Α	19791123
JP	02152955	A2	19900612	JР	1989-274779		19891018
JP	02060663	B4	19901217				
	•			GB	1979-40622	Α	19791123

AB F(CF2)nSO3CH2CF3 (n = 3-8) were prepared for use as trifluoroethylating agents. Thus F(CF2)4SO2F was treated with CF3CH2OH to give F(CF2)4SO2CH2CF3 which was used to alkylate demethyldiazepam in the presence of NaOMe to give the 1-(2,2,2-trifluoroethyl) derivative

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (trifluoroethylation of, trifluoroethyl perfluorobutane sulfonate as
 reagent for)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1980:586309 CAPLUS

DN 93:186309

TI Synthesis methods of diazepam

AU Kim, Dong Jun; Kang, Won Mo; Lee, Gyon I.; Kim, Ung Hak

CS N. Korea

SO Choson Minjujuui Inmin Konghwaguk Kwahagwon Tongbo (1980), (1), 42-4 CODEN: CKWTAN; ISSN: 0366-6662

DT Journal

LA Korean

OS CASREACT 93:186309

AB Diazepam (I; R = Me) (II) was prepared via 2 major synthetic routes, i.e., cyclization of III over hexamine gave 85% II, whereas methylation of I (R = H) with PhSO3Me gave 67% II. All intermediates were prepared

IT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and methylation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1980:567810 CAPLUS

DN 93:167810

TI Perchloric acid-acetic acid mixture as a reagent for detosylation of 2-N-p-toluenesulfonylaminophenyl (phenyl) methanones

AU Wakankar, D. M.; Hosangadi, B. D.

CS Dep. Chem., Univ. Bombay, Bombay, 400 098, India

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1980), 19B(3), 223-4 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 93:167810

AB HClO4-HOAc was a good reagent for the detosylation of ketones I (R = p-MeC6H4SO2; R1-5 = H; R1 = C1, R2 = R3 = R4 = R5 = H; R1 = R3 = R5 = H, R2 = R4 = MeO; R1 = R2 = R3 = R5 = H, R4 = MeO; R1 = R3 = R4 = H, R2 = R5 = MeO; R1 = R2 = R5 = H, R3 = R4 = MeO) to give the corresponding amino ketones I (R = H) in 53-87% yield.

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (detosylation of, with perchloric acid-acetic acid mixture)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1979:420092 CAPLUS

DN 91:20092

TI 2-Benzoyl-4-chloroglycinanilide derivatives

PA Fabre, Pierre, S. A., Fr.

SO Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

	PAT	TENT NO.		KIND	DATE	APPLICATION NO.		DATE
							-	
ΡI	JР	54036238		A2	19790316	JP 1978-73141		19780616
						FR 1977-18511	Α	19770616
	FR	2403330		A1	19790413	FR 1977-18511		19770616
	FR	2403330		B1	19821105			
							Α	
	ΕP	299		A1	19790110	EP 1978-400009		19780601
	ΕP	299	•	B1	19801112			
		R: BE,	CH, DE,	FR, GB	, NL			
						FR 1977-18511		19770616
	ZA	7803410		Α	19790627	ZA 1978-3410		19780614
						FR 1977-18511	Α	19770616
	CA	1124256		A1	19820525	CA 1978-305549		19780615

	ES 470861	A1	19790201	FR 1977-18511 ES 1978-470861 FR 1977-18511	A A	19770616 19780616 19770616
PATE	NT FAMILY INFORMATIO	N :				
FAN	1983:406040					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
					-	
ΡI	US 4372975	Α	19830208	US 1980-200622		19801027
				FR 1977-18511	Α	19770616
				US 1978-916651	A2	19780619
	FR 2403330	A1	19790413	FR 1977-18511		19770616
	FR 2403330	B1	19821105			
				•	Α	

AB Glycinanilide derivs. I (R = H, alkyl, alkenyl, cycloalkylmethyl, etc.; R1 are R2 H, alkyl, hydroxyalkyl, aryl, aralkyl, etc.), effective anticonvulsants with ED50 0.8-4.1 mg/kg p.o. and LD50 550-1700 mg/kg in mice, were prepared Thus, 0.9 mol II (R = R3 = H) and 190.6 g p-MeC6H4SO2Cl in pyridine was heated 1 h at 100° to give 95% II (R = H, R3 = p-MeC6H4SO2), which (0.8 mol) was treated with Me2SO4 in NaOMe at 25°-70° to give 87% II (R = Me, R3 = p-MeC6H4SO2) (III). Hydrolysis of III in aqueous H2SO4 at 110° gave 85% II (R = Me, R3 = H), and the latter was treated with BrCH2COCl in C6H6 to give 82% II (R = Me, R3 = BrCH2CO) (IV). Addition of 16.48 g IV to excess Me2CHNH2 in Me2CO and heating of the mixture 6 h at 45° followed by treatment with saturated HCl-MeOH gave 13.18 g I (R = Me, R1 = H, R2 = Me2CH).HCl; similarly prepared were 63 addnl. I and their salts.

IT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-alkylation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1977:55401 CAPLUS

DN 86:55401

TI 1,4-Benzodiazepines. XI. Synthetic studies on 1,4-benzodiazepines. Preparation of various N(1)-substituted-7-chloro-1,3-H-5-phenyl-1,4-benzodiazepines and their 2-deoxo derivatives

AU Kajfez, Franjo; Oklobdzija, Milan; Mihalic, Mladen; Sunjic, Vitomir; Blazevic, Nikola

CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia

SO Acta Pharmaceutica Jugoslavica (1976), 26(3), 199-207 CODEN: APJUA8; ISSN: 0001-6667

DT Journal

LA English

OS CASREACT 86:55401

Benzodiazepinones I (R = H, Me, R1 = H, CH2OC6H4Cl-2, CH2OPh; R = H, R1 = CH2OC6H4Cl-4, CH2OC6H4Me-3; R = Me, R1 = CH2OC6H4OMe-2, CH2OMe) were prepared by treating 2,4-BzClC6H3NHCH2CHR1OR with BrCH2COBr, and cyclizing 2,4-BzClC6H3N(COCH2Br)CH2CHR1OR with hexamine. II [R2 = CH2CH(OH)CH2OH, R3 = H, R4 = Cl, NO2, R3 = Me, R4 = Cl; R2 = 2,3-epoxypropyl, R3 = H, R4 = Cl] were prepared by N-alkylating II (R2 = H) with epibromohydrin. III (R5 = 2-Me, 3-Me, 3-Ph, H, 3-CH2OPh) were prepared by brominating 2,4-BzClC6H3NMeCH2CHR5OH and cyclizing the bromo derivs. with hexamine.

IT 4142-76-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (alkylation of)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

L4 ANSWER 29 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1977:55093 CAPLUS

DN 86:55093

TI 1,4-Benzodiazepines. X. Synthetic studies on 1,4-benzodiazepines. Preparation of 2-N- $\beta$ -hydroxy- and 2-N- $\beta$ -methoxy-ethylamino-5-chlorobenzophenones

AU Kajfez, Franjo; Lisini, Adriana; Oklobdzija, Milan; Mihalic, Mladen; Sunjic, Vitomir; Blazevic, Nikola

CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia

SO Acta Pharmaceutica Jugoslavica (1976), 26(3), 187-98 CODEN: APJUA8; ISSN: 0001-6667

DT Journal

LA English

OS CASREACT 86:55093

AB 2,4-BzClC6H3NRCH2CHR1OH (I, R = H, R1 = H, CH2Br, CH2OC6H4Me-3, CH2OC6H4Cl-4, Ch2OC6H4Cl-2, CH2OC6H4OMe-2, CH2OPh, Me, CH2OH, Ph; R = CH2CH2OH, R1 = H; R = Me, R1 = CH2Br, H, Ph) were prepared by treating 2,4-BzClC6H3NHR with the epoxides II. Methylation of I with MeI in BaO-DMF gave 2,4-BzClC6H3NHCH2CHR1OMe (R1 = H, Ph, CH2Ph, CH2OC6H4Cl-2, CH2OC6H4OMe-2, CH2OC6H4Cl-4, CH2OC6H4Cl-3, CH2OMe). II (R1 = 2,4-BzClC6H3NHCH2), 3,4-diphenyl-6-chlorquinoline, and the benzodiazepine III were obtained as bypyroducts.

IT 4142-76-1

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with dibromopropanol)

RN 4142-76-1 CAPLUS

Na

ANSWER 30 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN T.4 AN 1976:31018 CAPLUS 84:31018 DN Synthesis of 1,4-benzodiazepine-2-one derivatives ΤI Inukai, Noriyoshi; Nakano, Kohzi; Murakami, Masuo ΑU Yamanouchi Cent. Res. Lab., Tokyo, Japan CS Yamanouchi Seiyaku Kenkyu Hokoku (1974), 2, 196-205 SO CODEN: YSKHDO; ISSN: 0287-2935 DTJournal LΑ Japanese OS CASREACT 84:31018 Chlorodihydrophenylbenzodiazepinone (I) was prepared from AΒ 2-amino-5-chlorobenzophenone and 3 equivalent of glycine in pyridine in the presence of 6 equivalent of p-MeC6H4SO3H or PhSO3H by azeotropic dehydration. Methylation of I gave diazepam (II). The method was also applied to the preparation of 15 3-substituted-7-chloro-1,3-dihydro-5-phenyl-2H-1,4benzodiazepin-2-ones, 5,6-dihydro-6-oxodibenzo[b,f][1,5]diazocines III (R = H. Cl) and related compds. 4-Phenylquinazolines and 4-alkyl-1-phenylisoquinolines were prepared IT 4873-59-0 RL: RCT (Reactant); RACT (Reactant or reagent) (cyclization of, with serine) 4873-59-0 CAPLUS RN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) CN

INDEX NAME)

L4 ANSWER 31 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN AN 1975:497409 CAPLUS
DN 83:97409
TI Benzodiazepine derivatives
IN Field, George F.; Sternbach, Leo H.
PA Hoffmann-La Roche, F., und Co., A.-G., Switz.

SO Patentschrift (Switz.), 5 pp. Division of Swiss 549,586 (See Ger. 2,062,927, CA 76;59670r).

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

11111.	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	PAIENI NO.	KIND				
ΡI	CH 561703	Α	19750515	CH 1973-17455		19701211
				CH 1973-17455 A	4	19701211

AB The cyclization of 2'-fluoro-5-iodo-2-methylaminobenzophenone with  $\tt H2NCH2CO2Et$  gave I (R = Me). I (R = H) was similarly prepared The ED50 for I as sedatives, muscle relaxants, and anticonvulsants were given.

IT 34932-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and methylation of)

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1975:171112 CAPLUS

DN 82:171112

TI Benzodiazepinones

IN Jaunin, Roland; Hellerbach, Joseph

PA Hoffmann-La Roche, F., und Co., A.-G., Switz.

SO Patentschrift (Switz.), 4 pp. Division of Swiss 538,492 (See Ger. 2,150,075, CA 77;48523q).

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	СН 559191	Α	19750228	CH 1973-5744	19701007	!
				CH 1973-5744 A	19701007	1

AB Approx. 25 sedatives and muscle relaxants (I, R1 = Ph, o-FC6H4, o-ClC6H4, 2-pyridyl; R2 = Br, Cl, NO2; R3 = e.g. NCCH2O, H2NCOCH2SCH2, Me2NCOCH2O, H2NCOCH2NEt) were prepared by treatment of R3CH2CH2Cl with the corresponding 2-(tosylamino)benzophenone followed by detosylation and cycloaddn. with N3CH2COCl. Thus, refluxing 2,5-(p-MeC6H4SO2NH)ClC6H3COPh in NaOMe and MeOH and then heated 48 hr at 120° with Me2NCOCH2CH2Cl, followed by detosylation with 33% HBr in PhOH and cycloaddn. of N3CH2COCl gave I (R1 = Cl, R2 = Ph, R3 = Me2NCOCH2O). I (R1 = Cl, R2 = o-FC6H4, R3 = H2NCOCH2O), useful as an anticonvulsant at 0.176 mg/kg orally, had LD50

= >1250 mg/kg in mice.

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with chloroethoxyacetamide derivs.)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1975:125095 CAPLUS

DN 82:125095

TI 2-Alkylaminobenzophenones

IN Welstead, William J., Jr.; Stauffer, Harold F., Jr.

PA A. H. Robins Co., Inc.

SO U.S., 6 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 3846477	Α	19741105	US 1972-290568	19720920
				US 1972-290568 A	19720920

AB 5-Chloro-2-(tosylamido)benzophenone was treated with substituted alkyl halides and NaH to give the aminobenzophenones (I, R = H, CH2OH). Similarly prepared were the following II (n and R given): 1, H; 2, Me. N-methylation and N-acylation of the I gave 5,2-Cl[HOCH2CH(OH)CH2NMe]C6H3COPh and 5,2-Cl[HO(CH2)2N(CO2Et)]C6H3COPh which demonstrated tranquilizer activity.

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with alkyl halides)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1974:37186 CAPLUS

DN 80:37186

TI Benzodiazepine derivatives

IN Field, Georrge F.; Sternbach, Leo H.

PA Hoffmann-La Roche, F., und Co., A.-G.

SO Brit., 19 pp.

CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	GB 1332697	Α	19731003	GB 1970-60449	19701221
				GB 1970-60449 A	19701221

AB The title compds. (I, R = H, Me), useful as sedatives, muscle relaxants, and anticonvulsants, were prepared E.g., refluxing 2-bromo-2'-(2-fluorobenzoyl)-4'-iodo-N-methylacetanilide in DMF containing concentrated

aqueous NH3 for 3 min gave I (R = Me). I-containing compns. for tablets, capsules, and injection solns. were reported.

IT 34932-79-1P

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1974:36879 CAPLUS

DN 80:36879

TI 2-Amino-2'-fluoro-5-iodobenzophenone derivatives

IN Field, George F.; Sternbach, Leo H.

PA Hoffmann-La Roche, F., und Co., A.-G.

SO Brit., 4 pp. Division of Brit. 1,332,697.

CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1332698	Α	19731003	GB 1972-12290	19701221
				GB 1972-12290 A	19701221

AB 2-Amino-2'-fluorobenzophenone with 2 moles ICl3 in CHCl3 1 hr at room temperature gave the benzophenone (I, R = R1 = H) which on tosylation, methylation, and acid hydrolysis gave I (R = Me, R1 = H). Acylation of

the appropriate benzophenones with BrCH2COBr gave I (R = H, Me, R1 = BrCH2CO).

IT 34932-79-1P

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:542798 CAPLUS

DN 79:142798

TI Synthesis and antiinflammatory activity of 1-alkyl-4-aryl-2(1H)-quinazolines and quinazolinethiones

AU Coombs, R. V.; Danna, R. P.; Denzer, M.; Hardtmann, G. E.; Huegi, B.; Koletar, G.; Koletar, J.; Ott, H.; Jukniewicz, E.; et al.

CS Med. Chem. Dep., Sandoz-Wander, Inc., East Hanover, NJ, USA

SO Journal of Medicinal Chemistry (1973), 16(11), 1237-45 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. A number of quinazolinones and quinazolinethiones compared favorably in antiinflammatory activity with indomethacin and phenylbutazone. The most potent compound in the series, 1-isopropyl-7-methyl-4-phenyl-2(1H)-quinazolinone (I) [22760-18-5], showed the following ED50 values: carrageenan-induced paw edema inhibition in normal and adrenalectomized rats, 5 and 6 mg/kg orally, resp.; bradykinin-induced bronchoconstriction reversal in guinea pigs, 0.008 mg/kg, i.v.; adjuvant arthritis inhibition in rats, 1 mg/kg orally. The quinazolinones were prepared from the appropriately substituted anthranilic acids or anilines via the corresponding o-aminobenzophenones.

IT 50817-59-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (detosylation of)

RN 50817-59-9 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-3-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

IT 50817-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 50817-55-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-5-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 37 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 1973:72231 CAPLUS

DN 78:72231

TI 1,4-Benzodiazepin-2-one derivatives

IN Field, George Francis; Sternbach, Leo Henryk

PA Hoffmann-La Roche, F., und Co., A.-G.

SO S. African, 75 pp. CODEN: SFXXAB

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

ZA 7008348 19720612 ZA 1970-8348 19701210

PI ZA 7008348 19720612 ZA 1970-8348 19701210

AB 5-(o-Fluorophenyl)-1,3-dihydro-7-iodo-2H-1,4-benzodiazepin-2-one (I; R = H) and its Me derivative I (R = Me) were prepared by 12 different methods, utilizing ring closure, ring expansion, dehydration, dehydrohalogenation, decarboxylation, deoxidization, Sandmeyer, and methylation reactions.

Compds. I (R = H, Me) were useful as sedatives, muscle relaxants, and anticonvulsants.

IT 34932-79-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 38 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4

1972:99721 CAPLUS ΑN

76:99721 DN

5-Phenyl-2,3-dihydro-1H-1,4-benzodiazepines ΤI

Kajfez, Franjo; Blazevic, Nikola IN

CRC Compagnia di Ricerca Chimica S.A. PΑ

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DTPatent

LA German

FAN.	COT 1 PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	DE 2129683	<b></b> А	19720113	DE 1971-2129683		19710615
				CH 1970-9124	Α	19700616
	CH 549030	Α	19740515	CH 1970-9124		19700616
					Α	
	ES 392229	A1	19731116	ES 1971-392229		19710614
				CH 1970-9124	Α	19700616
	NO 131597	В	19750317	NO 1971-2220		19710614
				CH 1970-9124	Α	19700616
	AT 310759	В	19731010	AT 1971-5153		19710615
				CH 1970-9124	Α	19700616
	CA 946386	A1	19740430	CA 1971-115626		19710615
				CH 1970-9124	Α	19700616
	SE 414306	В	19800721	SE 1971-7734		19710615
	SE 414306	С	19801106			
				CH 1970-9124	Α	19700616
	NL 7108245	Α	19711220	NL 1971-8245		19710616
	NL 155544	В	19780116			
				CH 1970-9124	A	
	ZA 7103923	Α	19720126	ZA 1971-3923		19710616
				CH 1970-9124	Α	19700616
	FR 2099752	A5	19720317	FR 1971-21851		19710616
				CH 1970-9124	Α	19700616
	GB 1317339	Α	19730516	GB 1971-28229		19710616
				CH 1970-9124	Α	19700616
	JP 52018198	B4	19770520	JP 1971-43220		19710616
				CH 1970-9124	Α	19700616

AB Title compds. (I, R = H, Me, Et, or cyclopropyl; R1 = Cl, NO2, or CF3) were prepared by cyclization of o-[( $\beta$ bromoethyl)amino]benzophenones (II) with NH3 or hexamethylenetetramine (III) or of the II-III complex. Thus, 5,2-Cl(H2N)C6H3Bz reacted with p-MeC6H4-SO2Cl to give 2-(p-tosylamino)-5-chlorobenzophenone, which reacted with MeONa to give the Na salt. This reacted with BrCH2CH2Br to give 2-[N-p-tosyl(2-bromoethyl)amino]-5-chlorobenzophenone, which was treated with 75% H2SO4 to give 5,2-Cl(BrCH2CH2NH)C6H3Bz. This reacted

with MeI to give 5,2-Cl(BrCH2CH2NMe)C6H3Bz, which was refluxed 10 hr with III in EtOH to give I (R = Me, Rl = Cl) (IV, medazepam). Similarly prepared were I (R and Rl given): Et, Cl; cyclopropyl, Cl; Me, NO2; H, CF3; H, Cl. IV.HCl and IV.HBr were also prepared

IT 4142-76-1P 4873-59-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1972:59670 CAPLUS

DN 76:59670

TI Sedative and muscle-relaxing 2H-1,4-benzodiazepin-2-one derivatives

IN Field, George F.; Sternbach, Leo H.

PA Hoffmann-La Roche, F., und Co., A.-G.

SO Ger. Offen., 63 pp.

CODEN: GWXXBX

DT Patent

LA German

DANI CNITE 1

FAN.	CNT 1					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	DE 2062927	Α	19711216	DE 1970-2062927		19701221
				US 1970-42533	Α	19700601
	CH 549586	Α	19740531	CH 1970-18381		19701211
				US 1970-42533	Α	19700601
	CH 561684	Α	19750515	CH 1973-17454		19701211

			US	1970-42533	Α	19700601
7018577	Α	19711203	NL	1970-18577		19701221
			US	1970-42533	Α	19700601
2093923	A5	19720204	FR	1970-46020		19701221
	B1	19740524				
			US	1970-42533	Α	19700601
386685	A1	19730316	ES	1970-386685		19701221
			US	1970-42533	Α	19700601
1332699	Α	19731003	GB	1972-12291		19701221
			US	1970-42533	Α	19700601
35885	A1	19740516	IL	1970-35885		19701221
			US	1970-42533	Α	19700601
954513	A1	19740910	CA	1970-101133		19701221
					Α	
130681	В	19741014	NO	1970-4888		19701221
			US	1970-42533	Α	19700601
385298	В	19760621	SE	1970-17330		19701221
			US	1970-42533	A	19700601
136647	В	19771107	DK	1970-6493		19701221
			US	1970-42533	Α	19700601
979012	A2	19751202	CA	1972-152990		19721002
			US	1970-42533	Α	19700601
			CA	1970-101133	A3	19701221
7513327	Α	19751126	se	1975-13327		19751126
			US	1970-42533	Α	19700601
7600866	A	19760301	DK	1976-866		19760301
			US	1970-42533	Α	19700601
			DK	1970-6493	Α	19701221
	7018577 2093923 2093923 386685 1332699 35885 954513 130681 385298 136647 979012 7513327 7600866	2093923 A5 2093923 B1 386685 A1 1332699 A 35885 A1 954513 A1 130681 B 385298 B 136647 B 979012 A2	2093923 A5 19720204 2093923 B1 19740524 386685 A1 19730316 1332699 A 19731003 35885 A1 19740516 954513 A1 19740910 130681 B 19741014 385298 B 19760621 136647 B 19771107 979012 A2 19751202	7018577       A       19711203       NL         2093923       A5       19720204       FR         2093923       B1       19740524       US         386685       A1       19730316       ES         US       US       US       US         35885       A1       19740516       IL         US       US       US       US         954513       A1       19740910       CA         130681       B       19741014       NO         US       US       US         136647       B       19771107       DK         979012       A2       19751202       CA         7513327       A       19751126       SE         7600866       A       19760301       DK         US       US	US 1970-42533 2093923 B1 19740524 US 1970-46020 US 1970-42533 386685 A1 19730316 ES 1970-386685 US 1970-42533 1332699 A 19731003 GB 1972-12291 US 1970-42533 35885 A1 19740516 IL 1970-35885 US 1970-42533 954513 A1 19740910 CA 1970-101133 130681 B 19741014 NO 1970-4888 US 1970-42533 385298 B 19760621 SE 1970-17330 US 1970-42533 136647 B 19771107 DK 1970-6493 US 1970-42533 979012 A2 19751202 CA 1972-152990 US 1970-42533 CA 1970-101133 7513327 A 19751126 SE 1975-13327 US 1970-42533	7018577       A       19711203       NL 1970-18577         2093923       A5       19720204       FR 1970-42533       A         2093923       B1       19740524       US 1970-42533       A         386685       A1       19730316       ES 1970-386685       D       D         1332699       A       19731003       GB 1972-12291       D       D       D       D       D       1970-42533       A       A         35885       A1       19740516       IL 1970-35885       D       D       D       D       D       A       130681       A       A       19740910       CA 1970-101133       A       A       130681       B       19741014       NO 1970-4888       D       D       D       D       D       D       D       A       136647       B       19760621       SE 1970-17330       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D       D

AB 5-(2-Fluorophenyl)-1,3-dihydro-7-iodo-2H-1,4-benzodiazepin-2-one (I) and its 1-methyl derivative were prepared by various methods. The sedative and muscle relaxing paralyzing doses in mice were 1 and 3.5 mg/kg, resp., in the sloping plane test. In cats the min. effective dose was 0.05 and 0.1 mg/kg resp. In mice in the aggression test the 100% inhibiting dose was 1 and 2 mg/kg, resp. As an anticonvulsant in the elec. shock test in mice the ED50 was 1.6 and 1.3 mg/kg, resp. I was prepared by treating 4,2-I(o-FC6H4CO)C6H3NHCOCH2Br (II) 5 hr with NH3 (1), and then boiling 2 hr in pyridine. II was obtained by iodinating o-FC6H4COC6H4NH2-o and then treating it with BrCH2COBr.

IT 34932-79-1P

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1970:477127 CAPLUS

DN 73:77127

TI Synthesis of heterocyclic compounds. CCCLXVI. Syntheses of azole derivatives. II. Syntheses of N-(1-or 2-substituted)indazolones via diazotization

AU Kametani, Tetsuji; Sota, Kaoru; Shio, Masahisa

CS Pharm. Inst., Tohoku Univ., Sendai, Japan

SO Journal of Heterocyclic Chemistry (1970), 7(4), 815-20 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB Syntheses of 2,5-disubstituted-indazolones and 3-hydroxy-1-substituted-lH-indazoles were achieved by diazotization of 2-benzoylanilines and N-benzoylhydrazines resp.

IT 2237-07-2P 4873-59-0P 28561-54-8P

28561-55-9P 28561-57-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 2237-07-2 CAPLUS

CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 28561-54-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 28561-55-9 CAPLUS

CN p-Toluenesulfono-p-toluidide, 2'-p-anisoyl- (8CI) (CA INDEX NAME)

RN 28561-57-1 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-p-toluoyl- (8CI) (CA INDEX NAME)

L4 ANSWER 41 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1970:456144 CAPLUS

DN 73:56144

TI Antidiabetic dibenzo[c,g][1,2,6]thiadiazocines

PA Upjohn Co.

SO Brit., 10 pp.

CODEN: BRXXAA

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

ΡI	GB 1193917	19700603		
	_		US	19670516
	DE 1770289		DE	
	FR 1584277		FR	
	US 3534062	19700000	US	

Title compds. (I), useful against anaphylaxis and as antidiabetic agents, as well as starting materials in the manufacture of bleaching agents, herbicides and disinfectants, were prepared Thus, 25 g 5,2-Cl(H2N)C6H3Bz and 23.9 o-O2NC6H4SO2Cl in 50 ml pyridine was refluxed .apprx.1 hr to give 35.2 g 2'-benzoyl-4-chloro-2-nitrobenzenesulfonanilide, which was reduced (Fe powder) then treated with p-MeC6H4SO3H to give I (R = R1 = R2 = H, R3 = 2-Cl). Other I (.apprx.3) were prepared, and many other I were cited.

IT 20434-83-7P 20434-84-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 20434-83-7 CAPLUS

CN Benzenesulfonanilide, 2'-benzoyl-4,4'-dichloro-2-nitro- (8CI) (CA INDEX NAME)

RN 20434-84-8 CAPLUS

CN Benzenesulfonanilide, 2-amino-2'-benzoyl-4,4'-dichloro- (8CI) (CA INDEX NAME)

L4 ANSWER 42 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1969:461183 CAPLUS

DN 71:61183

TI Azabenzocycloheptenones. IX. New synthesis and some reactions of the 5,6-dihydrodibenz[b,e]azepin-11-one system

AU MacDonald, Ian; Proctor, George R.

CS Univ. Strathclyde, Glasgow, UK

SO Journal of the Chemical Society [Section] C: Organic (1969), (10), 1321-5 CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

AB Cyclization of N-(m-methoxybenzyl)-N-tolylsulfonyl-anthraniloyl chloride with AlCl3 at -20° yielded 70% 5,6-dihydro-8-methoxy-5-

tosyldibenz[b,e]azepin-11-one (I) (R = p-Me-C6H4SO2), which could be detosylated with polyphosphoric acid. Some reactions of the dihydrodibenzazepinone system are described.

23258-15-3P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

23258-15-3 CAPLUS RN

p-Toluenesulfonanilide, 4'-bromo-2'-(6-methylveratroyl)- (8CI) (CA INDEX CN NAME)

ANSWER 43 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4

AN. 1969:37850 CAPLUS

DN 70:37850

5-Aryl-3H-1,4-benzodiazepin-2(1H)-ones ΤI

Reeder, Earl; Sternbach, Leo H. IN

Hoffmann-La Roche Inc. PA

U.S., 18 pp. Continuation-in-part of U.S. 3051701 and Division of U.S. SO 3136815

CODEN: USXXAM

DT Patent

LA FAN.	English CNT 3 PATENT NO.		DATE	APPLICATION NO.		DATE
ΡI	US 3402171	 A	19680917	US 1963-326337		19631127
				CH 1960-13489		19601202
				CH 1960-13492	Α	19601202
				CH 1960-13494	Α	19601202
	US 3371085	Α	19680227	US 1961-154921		19611120
				CH 1960-13489	Α	19601202
				CH 1960-13490	Α	19601202
				CH 1960-13491	Α	19601202
				CH 1960-13492	Α	19601202
	•			CH 1960-13493	Α	19601202
				CH 1960-13494	Α	19601202
				CH 1960-13495	Α	19601202
				CS 1960-7357	Α	19611020
PATE	NT FAMILY INFORMATIO	)N :				
FAN	1969:450004					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
ΡI	US 3442946	Α	19690506	US 1963-331904		19631219
	_			CS 1960-7357	Α	19611029
	US 3371085	Α	19680227	US 1961-154921		19611120

				CH	1960-13489	Α	19601202
					1960-13490	Α	19601202
					1960-13491	Α	19601202
					1960-13492	Α	19601202
					1960-13493	A	19601202
					1960-13494	A	19601202
					1960-13495	A	19601202
					1960-7357	Α	19611020
FAN	1970:445551 PATENT NO.	KIND .	DATE		PLICATION NO.		DATE
						-	
ΡĪ	US 3515755	Α	19700602		1968-737861		19680618
					1960-13489	A	19601202
					1960-13490	Α	19601202
					1960-13491	A	19601202
					1960-13492	Α	19601202
					1960-13493	Α	19601202
					1960-13494	Α	19601202
					1960-13495	Α	19601202
	US 3371085	Α	19680227		1961-154921		19611120
					1960-13489	Α	19601202
				CH	1960-13490	Α	19601202
					1960-13491	Α	19601202
					1960-13492	Α	19601202
					1960-13493	Α	19601202
				CH	1960-13494	Α	19601202
					1960-13495	Α	19601202
				CS	1960-7357	Α	19611020
	US 3412086	A	19681119	US	1964-406906		19641027
				CH	1960-13490	Α	19601202
				CH	1960-13492	Α	19601202
			•	CH	1960-13493	Α	19601202
				CH	1960-13494	Α	19601202
				CH	1960-13495	Α	19601202
	US 3427304	Α	19690211	US	1967-625638		19670324
				CH	1960-13489	Α	19601202
				CH	1960-13490	Α	19601202
				CH	1960-13491	Α	19601202
				CH	1960-13492	Α	19601202
				CH	1960-13493	Α	19601202
				CH	1960-13494	Α	19601202
				CH	1960-13495	Α	19601202
AB	Continuation-in-par	t of U.	S. 3,051,701	an	d division of U.S	. 3	,136,815 (C A
	57: 16641c and C A	61: 951	5f). I (X =	= am	ino) are treated	wit:	h amino acids
	to give benzodiazep						
	(X = NHCOCH2Y) $(Y =$	amino	group). Thu	ıs,	6.5 g. 2-methylam	ino	-5-
	chlorobenzophenone	is heat	ed with 10 g	3. E	t glycinate-HCl i	n p	yridine to
	give 7-chloro-1-met	hyl-5-p	henyl-3H-1,4	-be	nzodiazepin-2(1H)	-on	e, m.
	125-6°. Similarly	prepare	d are the fo	110	wing II (R, R1, A	r,	R2, R3,
	R4, and m.p. given)	: H, H,	Ph, H, Me,	Η,	255-6°; H, H, o-C	1C6	H4,
	Me, H, H, 223-4°; H						
	iso-Bu, Ph, Cl, H,	H, 213-	14°; H, H, F	Ph,	F, H, H, 197-8°;	Η,	
	MeOCH2, Ph, Cl, H,	Н, 166-	7°; and H, H	I, m	-tolyl, Cl, H, H,		
	198-9°. 2-Bromoace	tamido-	3-methylbenz	zoph	enone (18.2 g.) i	s t	reated
	with liquid NH3 and	the pr	oduct heated	i in	pyridine to give		
	9-methyl-5-phenyl-3	H-1,4-b	enzodiazepin	1-2(	1H) -one, m. 184-5	۰.	
	Similarly prepared						4, and m.p.
	given): H, H, o-FC6						
	223-4°; H, H, O-ClC	6Н4, Н,	Н, Н, 212-1	L3°;	H, H, O-ClC6H4 C	1,	
	н, н, 199-201°; н,	H, Ph,	Br, H, H, 21	19-2	0.5°; H, H, Ph, M	e,	
	•	•			•	-	

H, H, 209-10°; H, H, Ph, F, H, H, 197-8°; H, H, p-ClC6H4, Cl, H, H, 247-8°; Me, H, Ph, Cl, H, H, 125-6°; and H, H, o-FC6H4, Br, H, H, 186-7°. Also prepared, according to known methods are the following I (Ar, X, R, R1, R2, and m.p. given): Ph, NH2, Cl, H, H, 56.5-58°; o-ClC6H4, BrCH2CONH, H, H, Cl, 136°; Ph, EtNH, H, H, Cl, 56-7°; o-tolyl, BrCH2CONH, H, H, Cl, 137-8°; o-ClC6H4, p-MeC6 · H4SO2NH, H, H, Cl, 136-8°; o-ClC6H4, p-MeC6H4SO2NMe, H, H, Cl, 153-5°; o-ClC6H4, p-MeC6H4SO2NMe, H, H, Cl, 153-5°; o-ClC6H4, MeNH, H, H, Cl, 88-90°; o-FC6H4, p-MeC6H4SO2NH, H, H, Cl, 119-20°; o-FC6H4, p-MeC6H4SO2. NMe, H, H, Cl, 151-2°; o-FC6H4, MeNH, H, H, Cl, 119-20°; Ph, NH2, Cl, H, Cl, 93-4°; Ph, NH2, Me, H, Cl, -; Ph, NH2, Me, H, H, 51-2°; Ph, BrCH2CONH, Me, H, H, 117-18°; OH, N:CHNMe2, H, Me, H, 196-8°; Ph, NH2, H, Me, H, 68-70°; o-FC6H4, NH2, H, H, Me, 68.5-5°; o-ClC6H4, NH2, H, H, Me, 106-7°; o-FC6H4, p-MeC6H4SO2NH, H, H, H, 129.5-30°; o-FC6H4, BrCH2CONH, H, H, H, 117-18.5°; p-FC6H4, NH2, H, H, Cl, 108-9°; p-FC6H4, p-MeC6H4SO2NH, H, H, Br, 114-15°, o-FC6H4, p-MeC6H4SO2NMe, H, H, Br, 154-5°; o-FC6H4, MeNH, H, H, Br, 112-13°; o-O2NC6H4, Cl, H, H, H, 76-9°; o-ClC6H4, NH2, H, H, H, 58-60°; o-ClC6H4, BrCH2CONH, H, H, H, 119-21°; o-ClC6H4, H2NCH2CONH, H, H, H, 162-4°; o-FC6H4, p-MeC6H4SO2NH, H, H, Cl, 132-3°; o-ClC6H4, ClCH2CONH, H, H, Cl, 157-9°; Ph, BrCH2CONH, H, H, Br, 117.5-18.5°; Ph, BrCH2CONH, H, H, Me, 116-17°; m-tolyl, NH2, H, H, Cl, 90-1°; Ph, BrCH2CONH, H, H, F, 103-5°; p-ClC6H4, BrCH2CONH, H, H, Cl, 127-8°; p-ClC6H4, H2 · NCH2CONH, H, H, Cl, 139-40°; Ph, ClCH2CONMe, H, H, Cl, 123-4°; Ph, ICH2CONMe, H, H, Cl, 95°; o-FC6H4, BrCH2 · CONH, H, H, Br, 139-40°; o-FC6H4, H2NCH2CONH, H, H, Br, 110-11°; o-FC6H4, ClCH2CONH, H, H, Cl, 141-2°; Ph, BrCH2CONH, H, H, H, 94-5°; and Ph, BrCH2CONH, Cl, H, Cl, 162-3°. Also prepared were the following II (R, R1, Ar, R2, R3, R4, and m.p. given): H, H, Ph, Cl, H, H, 216-17°; Me, H, Ph, Cl, H, H, 125-6°; Me, H, o-FC6H4, H, H, H, 113-14°; iso-Pr, H, o-ClC6H4, Cl, H, H, 148-50°; allyl, H, o-ClC6H4, Cl, H, H, 128-30°; Me, H, Ph, F, H, H, 109-10°; Me, H, p-ClC6H4, Cl, H, H, 154-6°; and NCCH2CH2, H, Ph, Cl, H, H, 117-18°. Also prepared were the following compds. (m.p. given): 7-chloro-2-(N-methylacetamido)-5-phenyl-3H-1,4-benzodiazepin 4-oxide, 186-7°; 7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one 4-oxide, 235-6°; and 7-bromo-4,5-dihydro-5-phenyl-3H-1,4-benzodiazepin-2(1H)one, 191-2°.

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 44 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1968:477247 CAPLUS

DN 69:77247

TI Preparation of 2H-1,2,3-benzothiadiazine 1,1-dioxides, 11H-11,11a-dihydrobenzimidazo[1,2-b][1,2]benzisothiazole 5,5-dioxides, 6H-dibenzo[c,g][1,2,5]thiadiazocine 5,5-dioxides and 5H-dibenzo[c,g][1,2,6]thiadiazocine 6,6-dioxides

AU Wright, John B.

CS Upjohn Co., Kalazoo, MI, USA

SO Journal of Heterocyclic Chemistry (1968), 5(4), 453-9 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 69:77247

o-Benzoylbenzenesulfonyl chlorides (I) were prepared conveniently from AΒ aminobenzophenones by diazotization followed by reaction with SO2 in the presence of Cu+, according to the general method of Meerwein. Reaction of I with hydrazine led to 4-phenyl-2H-1,2,3-benzothiadiazine 1,1-dioxides, which could be methylated and acetylated readily in the 2-position. 2-methyl derivative was prepared by reaction of I with methylhydrazine. Catalytic hydrogenation of 6-chloro-4-phenyl-2H-1,2,3-benzothiadiazine 1,1-dioxide gave the 3,4-dihydro derivative Reaction of I with o-phenylenediamine followed by cyclodehydration gave 11H-11,1ladihydrobenzimidazo[1,2-b]-[1,2]benzoisothiazole 5,5-dioxides (II). the II derivs. in NaOH solution in the presence of MeI or benzyl chloride was transformed into 6-methyl- and 6-benzyl-5H-dibenzo[c,g]1,2,6]thia diazocine 5,5-dioxide (III), resp. 5H-Dibenzo[c,g] [1,2,6]thiadiazocine 6,6-dioxides were prepared also by cyclodehydration of 2-amino-2'benzoylbenzenesulfonanilides.

IT 20434-83-7P 20434-84-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 20434-83-7 CAPLUS
CN Benzenesulfonanilide, 2'-benzoyl-4,4'-dichloro-2-nitro- (8CI) (CA INDEX NAME)

RN 20434-84-8 CAPLUS

CN Benzenesulfonanilide, 2-amino-2'-benzoyl-4,4'-dichloro- (8CI) (CA INDEX NAME)

L4 ANSWER 45 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1968:419132 CAPLUS

DN 69:19132

TI 1H-2,1,5-Benzothiadiazocines. II

AU Hromatka, O.; Knollmueller, M.; Binder, D.; Desehler, H.; Schollnahammer,

CS Tech. Hochsch. Wein, Vienna, Austria

SO Monatshefte fuer Chemie (1968), 99(3), 1111-16 CODEN: MOCHAP

DT Journal

LA German

AB The synthesis of trifluoromethyl-substituted 2-vinylsulfonylaminobenzophenones and their cyclization to 1H-2,1,5-benzothiadiazocines, e.g. I, are reported.

IT 18509-90-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 18509-90-5 CAPLUS

CN p-Toluenesulfono-m-toluidide, 6'-benzoyl- $\alpha$ ', $\alpha$ ', $\alpha$ '-trifluoro- (8CI) (CA INDEX NAME)

L4 ANSWER 46 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1968:95869 CAPLUS

DN 68:95869

TI 2-N-Substituted aminobenzophenones

IN Reeder, Earl; Sternbach, Leo H.

PA Hoffmann-La Roche Inc.

SO U.S., 26 pp. Continuation-in-part of U.S. 3051701

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 3344183		19670926		
				СН	19601202

AB The disclosure is the same but the claims are different.

IT 747-99-9P 805-61-8P 909-51-3P

4142-76-1P 4873-59-0P 5649-39-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 747-99-9 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 47 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1968:69054 CAPLUS

DN 68:69054

TI 1,4-Benzodiazepine derivatives

IN Reeder, Earl; Sternbach, Leo H.; Keller, Oscar; Steiger, Norbert; Stempel,

PA Hoffmann-La Roche, F., und Co., A.-G.

SO Patentschrift (Switz.), 16 pp.

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
ΡI	CH 414652		19661230			
				US	19591210	
				US	19600426	
	DE 1290143			DE		
	US 3427304		19690000	US		
AB	In addition to a de	scripti	ion of the ti	itle compds. mentioned	in the earlier	
	materials by conver chlorobenzophenone, from 2-amino-5-chlo bromopropionamido)- MeCHBrCOBr; 2-amino (CHCl3-Et2O) (decom and NH3 in MeOH. I 7-nitro-5-phenyl-3H 2-Amino-5-nitrobenz 2-Amino-4-nitrobenz	(loc. cit.) the preparation of some new ones and of the starting ls by conventional methods are given. 2-Chloroacetamido-5-enzophenone, m. 117-18° (C6H6-petroleum ether) is prepared amino-5-chlorobenzophenone (I) and ClCH2COCl; 2-(α-opionamido)-5-chlorobenzophenone, m. 114-15°, from I and OBr; 2-aminoacetamido-5-nitrobenzophenone (II), m. 166-7° Et2O) (decomposition), from 2-bromoacetamido-5-nitrobenzophenone (III) in MeOH. II heated 5 min. at 165-87° gives -5-phenyl-3H-1,4-benzodiazepin-2(1H)-one (IIIa)5-nitrobenzophenone and BrCH2COBr (IV) give III, m. 155-6°4-nitrobenzophenone and IV gives 2-bromoacetamido-4-nzophenone, m. 120-1°, which with NH3-MeOH gives				

8-nitro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 252° (decomposition) (EtOH). I and p-MeC6H4SO2Cl gives the Na salt (V) of 2-(ptoluenesulfonamido)-5-chlorobenzophenone, m. 298-9° (HCONMe2-CHCl3), which, refluxed 1.5 hrs. in MeCN with allyl bromide, gives 2-allylamino-5-chlorobenzophenone (VI), m. 76-7°; VI treated with IV gives  $2-(\alpha-bromo-N-allylacetamido)-5-chlorobenzophenone, m.$ 81-2° (C6H14); treated with NH3-MeOH it gives 1-allyl-7-chloro-5phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 105-6° (C6H14). 2-Methylamino-5-chlorobenzophenone and IV gives 2-(α-bromo-Nmethylacetamido)-5-chlorobenzophenone, m. 95-6° (Et2O-petroleum ether), which with NH3-MeOH gives 7-chloro-1-methyl-5-phenyl-3H-1,4benzodiazepin-2(1H)-one, m. 125-6° (Et2O). V (61.2 g.), 30 ml. PhCH2Cl, 0.5 g. NaI and 250 ml. MeCN refluxed 5 hrs. gives 2-(N-benzyl-p-toluenesulfonamido)-5-chlorobenzophenone, m. 116-18°, which treated at 145° with 70% H2SO4 gives 2-benzylamino-5chlorobenzophenone, m. 86-7°; this treated with IV gives  $2-(\alpha-bromo-N-benzylacetamido)-5-chlorobenzophenone, m.$ 159-60°. 2-Aminoacetamido-2',5-bis(trifluoromethyl)benzophenone is heated 0.5 hr. at 210° to give 2',5-bis(trifluoromethyl)-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 226-7° (C6H6-C6H14). 2-Amino-6-chlorobenzophenone and IV gives 2-bromoacetamido-6chlorobenzophenone, m. 97-8° (EtOAc-C6H14). 2-Bromoacetamido-3chlorobenzophenone m. 129-30°. Condensation of aceto-m-anisidine with BzCl in CS2 in the presence of AlCl3 gives 2-acetamido-4methoxybenzophenone, m. 118-19.5° (dilute EtOH), which, refluxed 3 hrs. with alc. HCl and then condensed with IV, gives 2-bromoacetamido-4methoxybenzophenone, m. 106-7.5° (C6H6-C6H14). Bromination of 3-acetamido-4-methoxybenzophenone gives 2-acetamido-5-bromo-4methoxybenzophenone, m. 144-6° (dilute EtOH), which when hydrolyzed with boiling alc. HCl gives 2-amino-5-bromo-4-methoxybenzophenone, m. 150-1.5° (C6H6-C6H14); it is condensed with IV to give  $\hbox{2-bromoace} tamido-\hbox{5-bromo-4-methoxybenzophenone, m. 144-5°.} \quad Addition$ of a Grignard reagent from 10.3 g. o-bromoanisole and 1.3 g. Mg in 100 ml. Et20 to 9.8 g. 6-chloro-2-methyl-3,1-4H-benzoxazin-4-one (VII) in 150 ml. icecold C6H6 and 50 ml. Et2O gives 2-acetamido-5-chloro-2'methoxybenzophenone, m. 124-6°, which saponified and condensed with IV gives 2-bromoacetamido-5-chloro-2'-methoxybenzophenone, m. 129-30.5° (MeCN). Condensation of m-MeOC6H4MgBr with VII gives 2-acetamido-5-chloro-3'-methoxybenzophenone, which saponified and treated with IV gives 2-bromoacetamido-5-chloro-3'-methoxybenzophenone, 97-8.5° (C6H14). Saponification of 2-acetamido-5-chloro-4'methoxybenzophenone and condensation with IV give 2-bromoacetamido-5chloro-4'-methoxybenzophenone, m. 116-18° (C6H6-C6H14). Condensation of 2-amino-3-nitrobenzophenone in MeNO2 with IV gives 2-bromoacetamido-3-nitrobenzophenone, m. 120.5-1.5°. Treatment of 2-bromoacetamido-5-chloro-2'-fluorobenzophenone (VIII) with liquid NH3 gives 2-aminoacetamido-5-chloro-2'-fluorobenzophenone, m. 115-15.5°, which, refluxed 17 hrs. in C5H5N, PhMe, or p-cymene gives up to 90% 7-chloro-5-(2-fluorophenyl)-3H-1,4-benzodiazin-2(1H)-one, m. 205-6° (MeOH-C6H14); it is also obtained when VIII is stirred overnight with alc. NH3. Condensation of 176 g. o-FC6H4COCl and 64 g. p-ClC6H4NH2 at 180° in the presence of ZnCl2 gives 2-amino-5-chloro-2'-fluorobenzophenone, m. 94-5° (MeOH), which condensed with IV gives 2-bromoacetamido-5-chloro-2'-fluorobenzophenone (IX), m. 132.5-33°. IX and liquid NH3 gives 2-aminoacetamido-5bromo-2'-fluorobenzophenone, m. 110-11°. Condensation of o-FC6H4COCl with p-BrC6H4NH2 in the presence of ZnCl2 gives 2-amino-5-bromo-2'-fluorobenzophenone, m. 101-2°, which with IV gives 2-bromoacetamido-5-bromo-2'-fluorobenzophenone, m. 139-40°. 8-Trifluoromethylbenzophenone m. 184-6°. The following

L4 ANSWER 48 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1967:490721 CAPLUS

DN 67:90721

TI Quinazolines and 1,4-benzodiazepines. XXXVI. Formation of 1,3-dihydro-and 1,5-dihydro-1,4-benzodiazepines from tosyl- and mesyl-substituted 1,3,4,5-tetrahydro-5-phenyl-1,4-benzodiazepine derivatives

AU Fryer, R. Ian; Winter, D. P.; Sternbach, Leo H.

CS Chem. Res. Dep., Hoffmann-La Roche, Inc., Nutley, NJ, USA

SO Journal of Heterocyclic Chemistry (1967), 4(3), 355-9 CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

AB cf. CA 67: 82198r. The treatment of 4-sulfonyl derivs. of 5-phenyl-1,3,4,5-tetrahydro-1,4-benzodiazepin-2-ones with base was shown to result in the formation of 1,3-dihydro- or 1,5-dihydro-1,4-benzodiazepin-2-ones depending upon the conditions used. The base treatment of 1-sulfonyl-substituted 2,3-dihydro-1,4-benzodiazepines, such as I, was shown to give the vinylimines, such as II.

IT 4873-59-0P

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

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ANSWER 49 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
L4
AN
     1967:37669 CAPLUS
DN
     66:37669
ΤI
     Benzophenone derivatives
    Sternbach, Leo H.; Keller, Oscar; Steiger, Norbert
IN
PA
    Hoffmann-La Roche, F., und Co., A.-G.
SO.
     Patentschrift (Switz.), 8 pp.
     CODEN: SWXXAS
DT
     Patent
LΑ
    German
FAN.CNT 1
     PATENT NO. KIND DATE
                                                                DATE
                                           APPLICATION NO.
                                           ______
                               19660228
PΙ
    CH 408045
                                                                  19600627
    A halogenated benzophenone (I) (Hal-halogen) is treated with NH3 to give
AB
     the amino derivative (II). II have anticonvulsant, muscle-relaxing, and
     sedative properties and are useful intermediates for preparation of
     5-phenyl-3H-1,4-benzodiazepin-2-1H-ones having the same properties.
     5 g. 2-bromoacetamido-5-trifluoromethylbenzophenone (III) in 150 ml.
     anhydrous Et20 is treated 1 hr. with 50 ml. anhydrous liquid NH3. The
solution is
     refluxed for 5 hrs. (reflux temperature of NH3) with a dry ice-Me2CO condenser
     and the NH3 distilled overnight. After 5 days at room temperature, the
suspension
     is worked up to give crude 2-aminoacetamido-5-trifluoromethylbenzophenone,
     m. 97-9°. To obtain III, 80 g. NaNO2 is added slowly and with
     stirring to 460 ml. concentrated H2SO4 and at 70° a clear solution is
     obtained. At 10-20°, 200 g. 2-chloro-5-trifluoromethylaniline is
     added slowly, the mixture stirred 1 hr., and poured over 200 g. NaCl and 1.6
     kg. dry ice. The excess NaCl is filtered off, a solution of 280 g. ZnCl2 in
     300 ml. H2O added, giving the ZnCl2 double salt of the corresponding
     diazonium compound (IV), which is filtered off after keeping overnight at
     0° and washed with cold saturated NaCl solution To a solution of 120 g. NaCN
     and 72 g. CuCN in 300 ml. H2O, 291 g. IV is added with cooling and
     stirring and, after the addition of 24 g. Na2CO3, the mixture is heated 1 hr.
     to 20°, then 0.5 hr. to 70°. After cooling and extracting with
     Et20, the crude 2-chloro-5-trifluoromethylbenzonitrile (V) is steam-distilled
     and recrystd. from C6H14, m. 39-40°. To a solution of PhMgBr (from
     9.5 g. Mg, 58.5 g. PhBr and 500 ml. anhydrous Et20) a solution of 39 g. V in
200
     ml. C6H6 is added with stirring, 400 ml. solvent distilled, and the mixture
     refluxed 16 hrs. NH4Cl (40 g.) and 200 g. ice is added, the mixture extracted
     with C6H6, and 2-chloro-5-trifluoromethylbenzo-phenonimine-HCl (VI)
precipitated
     with 40 ml. concentrated HCl VI is filtered off, washed, and dried in vacuo m.
     248-51°. VI (60 g.) is refluxed overnight with stirring with a
     mixture of 300 ml. PhMe and 300 ml. 25% H2SO4, the PhMe layer separated, washed
     with H2O, dried, evaporated in vacuo, the residue recrystd. from C6H6, to give
     pure 2-chloro-5-trifluoromethylbenzophenone (VII), m. 39-40°. A
     mixture of 50 g. VII and 500 ml. concentrated aqueous NH3 in a closed vessel
     hrs. at 140° in the presence of 10 g. CuCl gave yellow crystals of
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hrs. at 140° in the presence of 10 g. CuCl gave yellow crystals of 2-amino-5-trifluoromethylbenzophenone (VIII), m. 81-2°. VIII (26.5 g.) in 250 ml. anhydrous Et20 and 7.5 ml. pyridine, is stirred, cooled to 0°, and a solution of 23.2 g. BrCH2COBr in 50 ml. anhydrous Et20 added. After stirring 0.5 hr. at 0° and 3 hrs. at room temperature, the mixture is worked up to give crude III m. 102-3°. Also prepared were: 2-aminoacetamido-2',5-bis(trifluoromethyl)benzophenone, m. 108-9°;

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αamino-2-(trifluoromethylbenzoyl)acetanilide, m. 141-2°;
     2-(2-aminoacetamido)-2',5-dichlorobenzophenone, m. 122-4°;
     2-aminoacetamido-5-chloro-2'-methylbenzophenone, m. 121-3°;
     2-aminacetamido-5-chloro-2-fluorobenzophenone, m. 115-15.5°;
     2-aminoacetamido-5-bromo-2'-fluorobenzophenone, m. 110-11°;
     2-aminoacetamido-5-chlorobenzophenone, m. 97-9°;
     2-aminoacetamido-5-chlorobenzophenone-HCl, m. 192-3° (decomposition);
     2-aminoacetamido-6-nitrobenzophenone, m. 133-4°;
     2-aminoacetamido-5-nitrobenzophenone, m. 166-7°;
     2-aminoacetamido-5-nitrobenzophenone-HCl, m. 212-14° (decomposition);
     2-aminoacetamido-4-nitrobenzophenone, m. 118-20°;
     2-aminoacetamido-5-methyl-benzophenone, 80° (decomposition);
     5-bromo-2-aminoacetamido-4-methoxybenzophenone, m. 161-3°, it
     solidifies at 165-8° and melts agains at 248-51°;
     2-aminoacetamido-5-methylthiobenzophenone-HCl, m. 169-71°;
     2-(\alpha-aminopropionamido)-5-nitrobenzophenone, m. 155-6°;
     2-amino-4'-chloro-2'-(2-chlorobenzoyl)-N-methylacetanilid, m.
     157-9°. The preparation of the majority of the intermediates is given.
TΤ
     5649-39-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
     5649-39-8 CAPLUS
RN
     Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)
CN
       (CA INDEX NAME)
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ANSWER 50 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
T.4
AN
    1967:28808 CAPLUS
DN
    66:28808
    2-(\alpha-Halo-lower alkanoylamino) benzophenones
ΤI
    Reeder, Earl; Sternbach, Leo H.
ΙN
    Hoffmann-La Roche Inc.
PΑ
SO
    U.S., 26 pp. Continuation-in-part of U.S. 3051701
     CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                       KIND
                               DATE
                                           APPLICATION NO.
                                                                  DATE
     -----
                        _ _ _ _
                               -----
                                           ......
PΙ
    US 3270053
                               19660830
                                                                  19601202
AB
     Continuation-in-part of U.S. 3,051,701 (CA 57, 16641c). The disclosures
     are the same as U.S. 3,136,815 (CA 61, 9515f), but the claims are
     different. Compds. described here but not previously abstracted are:
     m-[5,2-Cl(H2N)C6H3CO]-C6H4F, m. 90-1°; 5,2-
    Me (HO2C) C6H3N: CHNMe2. HCl, m. 196-8° (MeCN-EtOH); and
     7-chloro-3-isopropyl-5-phenyl-3H-1,4 benzodiazapin-2(1H)-one, m.
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226-7° (Et2O-petroleum ether).

IT 747-99-9P, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)805-61-8P 909-51-3P 4142-76-1P
4873-59-0P 5649-39-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 747-99-9 CAPLUS
CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)
(CA INDEX NAME)

RN 909-51-3 CAPLUS
CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1966:499248 CAPLUS

DN 65:99248

OREF 65:18558e-g

TI Trichloroacetoacetates. I. Synthesis and reactions of ethyl and  $\beta,\beta,\beta,\text{-trifluoroethyl trichloroacetoacetates}$ 

AU Wald, David K.; Joullie, Madeleine M.

CS Univ. of Pennsylvania, Philadelphia

SO Journal of Organic Chemistry (1966), 31(10), 3369-74 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 65:99248

A study of the reaction of chloral and Et diazoacetate as a potential AB source of Et trichloroacetoacetate (I) showed that the main product of this reaction was Et 3-(trichloromethyl)glycidate. The reaction of trichloroacetyl chloride, ketene, and an alc., in liquid SO2, was found to be an excellent method to prepare trichloro- $\beta$ -oxo esters. The acid hydrolysis of I yielded  $\alpha,\alpha,\alpha$ -trichloroacetone but this reaction could not be utilized as a general synthetic route to trichloromethyl ketones because alkylation of the ester could not be accomplished. The reactions of I with amines were studied and the products formed depended on the basicity and structure of the amine. reacted with the ester to form Et malonamate. Primary aliphatic amines yielded malonamides and secondary amines formed amine salts. Aromatic amines did not react with I under similar conditions but in the presence of polyphosphoric acid they gave 2-trichloromethyl-4-quinolones. These compds. could be hydrolyzed to kynurenic acids (II), thus providing a new synthetic route to these compds. The condensation of I with o-phenylenediamine, under neutral conditions, yielded 4-(trichloromethyl)-1H-1,5-benzodiazepin-2(3H)-one. 32 references.

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-(preparation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 52 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1966:499247 CAPLUS

DN 65:99247 OREF 65:18558d-e

TI Reactions of phosphorus compounds. XI. A general synthesis of substituted 1,2-dihydroquinolines

AU Schweizer, Edward E.; Smucker, Leland D.

CS Univ. of Delaware, Newark

SO Journal of Organic Chemistry (1966), 31(10), 3146-9 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 65:99247

AB A series of acyl- and arylsulfonyl-1,2-dihydroquinolines was prepared from substituted o-formyl- and o-ketoanilines employing vinyltriphenylphosphonium bromide as the cyclization agent. 21 references.

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ANSWER 53 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
L4
     1966:103893 CAPLUS
ΑN
DN
     64:103893
OREF 64:19498a-h
     2-(N-Substituted amino) halobenzophenones
IN
     Reeder, Earl; Sternbach, Leo H.
SO
     11 pp.
DT
     Patent
LΑ
     Unavailable
FAN.CNT 1
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                      DATE
                                 _____
     _____
     US 3239564
                                 19660308
                                              US
PΙ
                                              CH
                                                                      19601202
     The title compds. (I) were prepared by published methods by condensing
AB
     substituted benzoyl chlorides with anilines in the presence of ZnCl2 or by
     reaction of II with Grignard reagents. I were used as intermediates for
     III. IV. V, and VI which are sedatives, muscle relaxants, and
     anticonvulsants. The I prepared were tabulated. Further prepared were II (R,
     m.p. given): 5-Cl, 143.5-46°; 8-Cl, 131.5-2.5°; 7-Cl, solid.
     2.5-R(NHR1)C6H3C(NOH)C6H4R2-p (III) (\alpha or \beta form, R, R1, R2,
     m.p. given): α, H, Br, Mc, 204-5°; β, H, Br, Mc,
     115-16°; α, ClCH2CO, Br, Me, 179-80°; α, Η, Cl,
     Cl, 151-4°. Other compds. prepared were listed in the 2nd table.
     Also prepared was 2-chloro-2'-nitrobenzophenone, m. 76-9°, and
     2-dimethylformamidinoanthranilic acid-HC1.
     747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-
IT
     805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-
     4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-
     toluenesulfonamido] - 4873-59-0, p-Toluenesulfonamilide,
     2'-benzoyl-4'-chloro- 5649-39-8, p-Toluenesulfonanilide,
     4'-chloro-2'-(o-chlorobenzoyl)-
        (preparation of)
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Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)

Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA

RN

CN

747-99-9 CAPLUS

(CA INDEX NAME)

RN

CN

4873-59-0 CAPLUS

INDEX NAME)

RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-

(sodium derivative)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 54 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 1966:103892 CAPLUS

DN 64:103892

OREF 64:19497f-h,19498a

TI Alkyl substituted hydrocinnamaldehydes

PA Soda Aromatic Co., Ltd.

SO 21 pp.

DT Patent

LA Unavailable

FAN.CNT 1

The title compds., useful as perfumes, can be prepared by selective ΑB hydrogenation of an unsatd. aldehyde p-R1C6H4CH:CR2CHO together with a saturated primary or secondary alc. or H in the vapor phase under reduced pressure at 200-400° and a hydrogenation catalyst. Thus, a mixture of 1 mole gaseous p-isopropyl- $\alpha$ -methylcinnamaldehyde (I), b6 130-3°, n20D 1.5800, and 4 moles cyclohexanol (II) is fed through a Cu-Zn catalyst reactor at 60 mm. Hg and 265  $\pm$  5° to yield .apprx.100% p-isopropyl- $\alpha$ -methylhydrocinnamaldehyde (III) (phys. consts. see below), and a trace of p-isopropyl- $\alpha$ -methylhydrocinnamic alc. (IV). Similarly, III is obtained from I with 2-octanol, with IV and H, or a mixture containing II and H. I (1 mole) was hydrogenated at 70-4° over 6 g. Raney Ni to yield 38% III, 46% IV, and 16% I. This mixture was fed through a Cu-Zn catalyst reactor at 260-70° to yield III, containing a trace I and a small amount IV; this reaction was also carried out in the presence of II or H to give similar results. Similarly were prepared the following substituted hydrocinnamic aldehydes (R1, R2, b.p./mm., n20D, d25, acid value, and % yield given): iso-Pr, Me (III), 104-5°/3, 1.5064, 0.947, 1.06, 95.65; tert-Bu, Me, 126-7°/6, 1.5050, 0.9390, 1.54, 98.52; sec-Bu, Me, 106-7°/1.5, 1.5030, 0.9391, 1.84, 98.3; H, amyl, 126-8°/4, 1.4990, --, 2.16, 98.1; H, Me, 95-6°/10, 1.5110, 0.9204, 1.45,.

RN 805-61-8 CAPLUS

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L4 ANSWER 55 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 1966:18973 CAPLUS

DN 64:18973

OREF 64:3425g-h,3426a

TI 2-Methyl (and benzyl) amino-5-chlorobenzophenones

KIND

DATE

IN Reeder, Earl; Sternbach, Leo H.

PA F. Hoffmann-La Roche & Co., A.-G.

SO 2 pp.

DT Patent

LA Unavailable

PATENT NO.

FAN.CNT 1

\_\_\_\_\_ \_\_\_\_\_\_ \_\_\_\_\_\_ 19641021 PΙ GB 972975 GB 19601209 Division of Brit. 972,966 (See Ger. 1,136,709, CA 59, 12827g). The title AB compds. (I) are prepared by methylating or benzylating 2-tosylamino-5chlorobenzophenone (II), m. 120-1°, by treating the Na salt with methyl or benzyl halide, or with Me2SO followed by hydrolysis. These compds. are useful intermediates in the preparation of 1-substituted 5-phenyl-2,3-dihydro-1H-1,4-benzodiazepinones. In an example, II (0.0413 mole) was dissolved in (200 ml.) PhMe, and 50 ml. PhMe distilled off at 65°, 11.5 ml. of a solution of 10 g. Na in (100 ml.) MeOH was added, MeOH was distilled and the reaction mixture refluxed 1.5 hrs., PhMe (10 ml.) was distilled, and 0.066 mole Me2SO added and refluxed 1.5 hrs. The organic layer was separated from the cooled mixture and evaporated in vacuo.

APPLICATION NO.

DATE

Crystallization from

C6H6-petroleum ether gave 2(N-methyl-p-tolylsulfonamido)-5-chlorobenzophenone (III), m. 151-2° (EtOH). III was added to 200 ml. 70% (volume/volume) H2SO4 at 105° and heated 8 min. at 145° to give a clear solution The clear solution was poured onto crushed ice and diluted with H2O to give 2-methylamino-5-chlorobenzophenone, yellow needles, m. 95-6°. 2-Benzylamino-5-chlorobenzophenone, yellow prisms, m. 86-7° (EtOH), was prepared from II and PhCH2Cl, with NaI as the catalyst.

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 56 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4

1965:498022 CAPLUS AN

DN 63:98022

OREF 63:17978e-g

2-Alkenylamino-5-halobenzophenones

Reeder, Earl; Sternbach, Leo H. ΙN

F. Hoffmann-La Roche & Co., A.-G. PΑ

SO 2 pp.

DTPatent

Unavailable LΑ

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE 19641021 GB 19601209 PΤ GB 972971

Division of Brit. 972,968 (see Ger. 1,136,709, CA 59, 12827g). AB

2,5-H2NClC6H3Bz (231.5 g.) and 231.5 g. p-MeC6H4SO2Cl in I l. C5H5N was refluxed 1.5 hrs., 5 ml. C5H5N distilled, the mixture poured into H2O, the solid dissolved in 600 ml. boiling C6H6, and 150 ml. 40% (weight/volume)

NaOH added to give 348.5 g. 2-tosyl-amino-5-chlorobenzophenone Na salt (I), m. 298-9  $^{\circ}$  ( HCONMe2-CHCl3). A suspension of 31.5 g. I in 300 ml. anhydrous MeCN was refluxed 1.5 hrs. with 18.7 g. CH2:CHCH2Br, NaBr filtered off, and the filtrate concentrated to an oil (II). A solution of 25

g. II

in 40 ml. AcOH was added to 30 ml. 70% (by volume) H2SO4 at 105° and the mixture heated to 145°, poured on 2 l. ice, and diluted with 1 l. H2O to give a gummy solid which was dissolved in 1.5 l. Et2O and the solution washed with aqueous NaOH, dried, and evaporated to yield 2-allylamino-5chlorobenzophenone, m. 76-7° (MeOH), a useful intermediate in the preparation of sedatives, muscle relaxants, and anticonvulsants.

4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-IT toluenesulfonamido] -

(preparation of)

RN 4142-76-1 CAPLUS

Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt CN (9CI) (CA INDEX NAME)

Na

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L4
     ANSWER 57 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
AN
     1965:43721 CAPLUS
DN
     62:43721
OREF 62:7694b-e
     2(or 4)-Substituted-2'-aminobenzophenones
     Fryer, Rodney I.; Sternbach, Leo H.
IN
PΑ
     F. Hoffmann-La Roche & Co., A.-G.
SO
     24 pp.
DT
     Patent
LΑ
     Unavailable
FAN.CNT 1
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APPLICATION NO. PATENT NO. KIND DATE -----PΙ FR 1375300 19641016 FR US 19621113 BE BE 637329 GB 982909 GB NL 298186 NLUS 3261867 1966 US

2-Amino-2'(or 4')-fluorobenzophenones are treated with NaOMe, NaSMe, or an amine to give compds. of the general formula I. Thus, 50 g. 4,2-Cl (o-FC6H4CO) C6H3-NH2 in 300 ml. tetrahydrofuran is hydrogenated in the presence of 10 g. Norite, 30.0 g. KOAc, and 2.5 ml. 20% Pd chloride to give 2-amino-2'-fluorobenzophenone (II), m. 126-8° (MeOH). A solution of 1.4 millimoles II and NaOMe in MeOH (20 ml. containing 4.44 millimoles/ml.) in 50 ml. PhMe was refluxed 2 hrs. and evaporated in vacuo, the residue treated with 100 ml. H2O and 100 ml. CH2Cl2, and the CH2Cl2 solution evaporated to give 2-amino-2'-methoxybenzophenone, m. 111-12° (MeOH). Similarly prepared were the following I (R, R', Y, X, X', and m.p. given): H, tosyl, H, MeO, H, 134-5° (MeOH); H, tosyl, Br, MeO, H, 114-15°; H, tosyl, Cl, H, MeO, 128-30°; Me, tosyl, Cl, MeO, H, 150-1° (EtOH); Me, tosyl, Br, MeO, H, 154-58; H, H, Cl, MeO, H, 81-3° (ether-hexane); H, H, Cl, MeS, H, 100-100.5° (hexane); H, H, Cl, NMe2, H, 85-6° (hexane-ether); H, H, Cl, piperidino, H, 110-14° (hexane). A mixture of 7.6 g. o-(o-FC6H4CO)C6H4CN (III), 6.7 g. PhCH2NH2, and 70 ml. PhMe was refluxed 2 hrs. to give o-(o-NCC6H4CO)C6H4NHCH2Ph (IV), m. 142-3.5° (ether). A mixture of 6.0 g. IV, 1.0 g. 10% Pd-C, and 1.4 ml. concentrated HCl in 150 ml. HOAc was treated with H to give 2-amino-2'-cyanobenzophenone, m. 132-3° (Me2CO-hexane). Similarly prepared was I (R = R' = X' = Y = H, X = NO2), m. 146-9° (MeOH). Also prepared were the following I (R, R', Y, X, X', and m.p. given): H, tosyl, H, F, H, 129.5-30° (EtOH); H, tosyl, Br, F, H, 114-15° (MeOH); H, H, Cl, H, F, 108-9°; H, tosyl, Cl, H, F, 126-8° (MeOH); H, tosyl, Cl, F, H, 119-20° (MeOH). Also prepared was III, m. 73-4° (ether-petr. ether).

AΒ

T747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)1823-22-9, p-Toluenesulfonanilide, 2'-o-anisoyl-4'-bromo2237-07-2, p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro(preparation of)
RN 747-99-9 CAPLUS
Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)
(CA INDEX NAME)

RN 1823-22-9 CAPLUS CN p-Toluenesulfonanilide, 2'-o-anisoýl-4'-bromo- (7CI, 8CI) (CA INDEX NAME)

RN 2237-07-2 CAPLUS CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)

ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4AN 1965:5004 CAPLUS 62:5004 DN OREF 62:946e-f ΤI Metabolism of diazepam in rabbits Jommi, G.; Manitto, P.; Silanos, M. A. ΑU CS Fac. Sci., Milano Archives of Biochemistry and Biophysics (1964), 108(2), 334-40 SO CODEN: ABBIA4; ISSN: 0003-9861 DT Journal LΑ English Urine of rabbits treated with large doses of diazepam (I) was analyzed. AB After hydrolysis 3 compds. were isolated and identified: 2-methylamino-5-chlorobenzophenone (II), 2-amino-5-chlorobenzophenone, and 2-methylamino-5-chloro-4'-hydroxybenzophenone. Another substance was tentatively identified by thin-layer chromatography as 2-amino-5-chloro-4'-hydroxybenzophenone. These compds. were not present as such in urine, but were derived from conjugated precursors. Since diazepam itself was transformed into II after hydrolysis, it was impossible to determination whether the demethylation and hydroxylation occurred

on diazepam or on one of its metabolites. The identified metabolites

represented <10% of the injected diazepam.

IT 2237-07-2, p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro(preparation of)

RN 2237-07-2 CAPLUS

CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)

ANSWER 59 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4 AN 1964:454909 CAPLUS DN 61:54909 OREF 61:9515f-h,9516a-h,9517a-e,9518a-b 5-Aryl-3H-1,4-benzodiazepin-2(1H)-ones Reeder, Earl; Sternbach, Leo H. INHoffmann-La Roche Inc. PA SO 26 pp. DTPatent Unavailable LΑ PATENT NO. KIND DATE APPLICATION NO. DATE PΙ US 3136815 19640609 US CH 19601202 ĊН CH 396016 DE 1199776 DE GB 972969 GB I, II, III, and IV are prepared Thus, 26.2 g. 5,2-Cl(H2N)C6H3CPh:NOH AΒ  $(\beta$ -form) is treated with 12.4 g. ClCH2COCl in the presence of 3N NaOH to give 2-chloroacetamido-5-chlorobenzophenone  $\beta$ -oxime (V), m. 161-2°. V (6.4 g.) is treated 15 hrs. with 20 ml. N NaOH to give 7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one (VI) 4-oxide (VII). A solution of 14.3 g. VII in 300 ml. dioxane is treated with H in the presence of 20 g. Raney Ni to give VI, m. 216-17° (Me2CO). A solution of 7.6 g. VII in 150 ml. HOAc is treated with H in the presence of 0.6 g. PtO2 to give 7-chloro-4-hydroxy-5-phenyl-4,5-dihydro-3H-1,4-benzodiazepin-2(1H)one, m. 215-16° (HOAc). A solution of 10.8 g. VI in 120 ml. HOAc is treated with H in the presence of 1.2 g. Pt oxide to give the 4,5-dihydro derivative, m. 184.5-5.5° (dilute HOCNMe2). Also prepared are the following I (R2 = H): X, Ar, R, R1, m.p., X, Ar, R, R1, m.p.; C1, Ph, Me, H, 188-9°; Me, Ph, H, H, 226-7°; Br, Ph, H, H, 230-1°; Me, Ph, H, Me, 234-5°; Br, p-tolyl, H, H, 237-8°; Cl, p-ClC6H4, H, H, 250-2°; Cl, Ph, allyl, H, 150-1°; Cl, o-ClC6H4, H, H, 248-9°; Cl, Ph, PhCH2, H, 151-2°; Cl, Ph, Et, H, 207-8°. Also prepared are the following II (R = R2 = H): X, Ar, R1, and m.p. given): Br, p-tolyl, AcNMe,

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209-10°; Br, p-tolyl, MeNH, 255-6°; Cl, p-ClC6H4, MeNH,
254-5°; Cl, p-ClC6H4, AcNMe, 191-2°; Cl, o-ClC6H4, MeNH,
247-8° (decomposition); Cl, Ph, AcNMe, 186-7°. Also prepared are
182-3°; H, Ph, Me, H, H, 153.5-5.5°; Me, Ph, H, H, H,
209-10°; Me, Ph, H, H, Me, 210-11°; Cl, Ph, H, H, Cl,
207-8°; Cl, p-ClC6H4, H, H, H, 247-8°; Br, p-tolyl, H, H,
H, 239-40°; Cl, Ph, Me, H, H, 125-6°; H, p-ClC6H4, H, H,
H, 262-3°; Me, Ph, H, Me, H, 255-6°; Br, Ph, H, H, H,
220-1°; H, Ph, H, H, Cl, 174.5-6.5°; H, Ph, H, Cl, H,
214-15°; Cl, o-ClC6H4, H, H, H, 199-201°; Cl, o-ClC6H4,
Me, H, H, 135-8°; Cl, o-tolyl, H, H, H, 180-1°; Cl,
o-tolyl, Me, H, H, 137-9°; Cl, o-FC6H4, H, H, H, 205-6°;
Cl, m-FC6H4, H, H, H, 200-1°; Br, o-FC6H4, H, H, H, 187-8°;
Cl, o-FC6H4, Me, H, H, --; Br, o-FC6H4, Me, H, H, 132-2.5°; Me,
o-ClC6H4, H, Me, H, 259-60°; Cl, Ph, CH2OH, H, H, 201-2°;
Cl, Ph, PhCH2, H, H, 174-5°; Cl, Ph, Et, H, H, 127-8°; Cl,
Ph, allyl, H, H, 105-6°; H, Ph, H, H, Me, 184-5°; H, Ph, H,
Me, H, 255-6°; Me, o-ClC6H4, H, H, H, 223-4°; H, o-FC6H4, H,
H, H, 180-1°; H, o-FC6H4, Me, H, H, 173-14°; Cl, p-FC6H4, H,
H, H, 223-4°; F, Ph, H, H, H, 197-8°; H, o-ClC6H4, H, H, H,
212-13°; H, o-ClC6H4, Me, H, H, 135-7°; Cl, o-ClC6H4,
HC:CCH2, H, H, 140-2°; Cl, o-ClC6H4, iso-Pr, H, H, 148-50°;
Cl, o-ClC6H4, allyl, H, H, 128-30°; Br, Ph, H, H, H,
219-20.5°; Me, Ph, H, H, H, 209-10°; Cl, m-tolyl, H, H, H,
148-9°; F, Ph, Me, H, H, 109-10°; Cl, p-ClC6H4, Me, H, H,
154-6°; Cl, Ph, (CH2)2CN, H, H, 117-18°; Br, o-FC6H4, H, H,
H, 186-7°. Also prepared are the following IV: X, Ar, R, R1, m.p.;
Cl, o-ClC6H4, H, H, 235-7°; Cl, o-FC6H4, H, H, 214-15°; Br,
o-FC6H4, H, H, 224-5°; Cl, o-ClC6H4, Me, H, 168-71°; Cl, Ph,
Me, H, 139-41°; H, o-ClC6H4, H, H, 187-9°; H, o-ClC6H4, Me,
Me, -- (1); H, o-ClC6H4, Me, H, 177-80°; Br, Ph, H, H,
191-2°; Br, Ph, Me, Me, 166-72°; H, Ph, H, H, 147-8°;
Me, Ph, H, H, 174-6°; Me, Ph, Me, Me, 71-3° (2); Cl,
o-tolyl, H, H, 248-9°; Cl, o-tolyl, Me, Me, -- (3); H, o-FC6H4, H,
H, 162-3°; Cl, Ph, Me, H, 144-5°; Cl, Ph, Me, allyl,
108.5-109°; Cl, Ph, allyl, allyl, --(4); H, Ph, H, Me, -- (5); Cl,
o-FC6H4, H, Me, 185.6°; Cl, o-FC6H4, Me, Me, 124-5°; Cl, Ph,
H, Me, 205-5.5°; Cl, Ph, Me, Me, 90-1°; Br, o-ClC6H4, Me,
Me, 134-5°; H, Ph, Me, Me, 115-16°; (1) HCl salt m.
240-1° (Me2CO-ether), (2) 4-MeI salt m. 160-1° (decomposition)
(MeOH-ether), (3) HCl salt m. 197-215° (MeOH-ether), (4) HCl salt
m. 190-1° (CH2Cl2-ether), (5) MeI salt m. 190-1° (EtOH) and
4-MeCl salt m. 199-201° (MeOH-ether). Also prepared are the
following III (Z = R = R1 = R2 = H, X = C1, Ar = Ph): (R3 and m.p. given):
Me, 220-1°; Ph, 269-70°; m-HOC6H4CH2, 151-3°; iso-Bu,
213-14°; CH2OMe, 166-7°. Also prepared are the following
Ph), 243.5-45^{\circ}; II [R = H, R1 = AcNMe, Ar = Ph, X = R2 = Me],
193-4° (decomposition); 7-chloro-2-methylamino-5-phenyl-3H-
1,4-benzodiazepine, 240-1°; 7-chloro-2-(N-methylacetamido)-5-phenyl-
3H-1,4-benzodiazepine, 162°; 6-bromo-2-chloromethyl-4-(p-
tolyl)quinazoline 3-oxide, 162-4°; 6-chloro-2-chloromethyl-4-(4-
chloromethyl)quinazoline 3-oxide, 163-4°; 5-chloro-2-methyl-4H-3,1-
benzoxazin-4-one, 143.5-46°; 6,2-Cl (AcNH) C6H3CO2H, --;
8-chloro-2-methyl-4H-3,1-benzoxazine-4-one, 131.5-2.5°;
2-methyl-7-chloro-4H-3,1-benzoxazin-4-one, --; 6-chloro-2-chloromethyl-4-
(2-chlorophenyl)quinazoline 3-oxide, 140-3°; O-methylserine Et
ester-HCl, --; o-(o-ClC6H4CO)C6H4NHCOCH2Br, 119-21°;
o-(o-ClC6H4CO)C6H4NHCOCH2NH2, 162-4°. Also prepared were the
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following 2-X1C6H4COC6H2(NRR1)R2X-2,3,5 VIII: R, R1, R2, X, X1, m.p.; H,
ClCH2CO, H, Cl, H, 117-18°; H, H, Me, Me, H, 68-70°; H,
Ac, Cl, Cl, H, 143-4°; H, H, Cl, Cl, H, 93-4°; H,
MeCHBrCO, H, Cl, H, 114-15°; H, Ac, Cl, H, H, 129-31°; H,
H, Cl, H, H, 56.8-58°; H, BrCH2CO, Cl, H, H, 129-30°; H,
H, H, Cl, Cl, 88-9°; H, BrCH2CO, H, Cl, Cl, 136°; H, H2NCH2CO, H, Cl, Cl, 122-4°; H, H, H, Cl, Me, 50-5°; H, H,
H, Cl, F, 94-5°; H, H, H, Br, F, 101-2°; H, BrCH2CO, H, Cl, F, 132.5-33°; H, H2NCH2CO, H, Cl, F, 115-15.5°; H,
BrCH2CO, H, Br, F, 139-40°; H, H2NCH2CO, H, Br, F, 110-11°;
Na, p-MeC6H4SO2, H, Cl, H, 298-9°; H, p-MeC6H4SO2, H, Cl, H,
120-1°; Me, p-MeC6H4SO2, H, Cl, H, 151-2°; H, Me, H, Cl,
H, 95-6°; H, allyl, H, Cl, H, 76-7°; PhCH2, p-MeC6H4SO2,
H, Cl, H, 116-18°; H, PhCH2, H, Cl, H, 86-7°; Me, BrCH2CO,
H, Cl, H, 95-6°; allyl, BrCH2CO, H, Cl, H, 85-6°; PhCH2,
BrCH2CO, H, Cl, H, 159-60°; H, Et, H, Cl, H, 56-7°; H,
BrCH2CO, H, Cl, Me, 137-8°; H, p-MeC6H4SO2, H, Cl, Cl,
136-8°; Me, p-MeC6H4SO2, H, Cl, Cl, 145°, 153-5°;
H, Me, H, Cl, Cl, 78-80°, 88-90°; H, p-MeC6H4SO2, H, Cl, F,
119-20°; Me, p-MeC6H4SO2, H, Cl, F, 151-2°; H, Me, H, Cl, F,
119-20°; H, H, Cl, Cl, H, 93-4°; H, H, Me, Cl, H,
88.5-90°; H, H, Me, H, H, 51-2°; H, BrCH2CO, Me, H, H,
117-18°; H, H, H, Me, F, 68.5-9.5°; H, H, H, Me, Cl,
106-7°; H, H, H, H, F, --; H, p-MeC6H4SO2, H, H, F, 129.5-30°; H, BrCH2CO, H, H, F, 117-18.5°; H, p-MeC6H4SO2,
H, Br, F, 114-15°; Me, p-MeC6H4SO2, H, Br, F, 154-5°; H, Me,
H, Br, F, 112-13°; H, H, H, Cl, Cl, 58-60°; H, ClCH2CO, H,
Cl, Cl, 157-9°; H, BrCH2CO, H, Br, H, 117.5-18.5°; H,
BrCH2CO, H, Me, H, 116-17°; H, BrCH2CO, H, F, H, 103-5°; Me,
ClCH2CO, H, Cl, H, 123-4°; Me, ICH2CO, H, Cl, H, 95°; H,
BrCH2CO, H, Br, F, 139-40°; H, H2NCH2CO, H, Br, F, 110-11°;
H, ClCH2CO, H, Cl, F, 141-2°; H, BrCH2CO, H, H, H, 94-5°; H,
BrCH2CO, Cl, Cl, H, 162-3°; (1) oxime m. 137-9° (C6H6-petr.
ether). Also prepared were the following (m.p. given): p-[5,2-
Br (H2N) C6H3CO] C6H4Me, 105-6^{\circ} (\alpha-oxime m. 204-5^{\circ};
\beta-oxime m. 115-16°), p-[5,2-Br(ClCH2CONH)C6H3CO]C6H4Me
\alpha-oxime, 179-80°; p-[5,2-Cl(H2N)C6H3CO]C6H4Cl,
118-19° (\alpha-oxime m. 151-4°); o-(p-ClC6H4CO)C6H4NH2,
98-9°; 6,2-Cl (AcNH) C6H3Bz, --; 6,2-Cl (H2N) C6H3Bz, 101-2.5°;
6,.2-Cl (BrCH2CONH) C6H3Bz, 97-8°; 4,2-Cl (H2N) C6H3Bz, 84-5°;
4,2-Me(H2N)C6H3Bz, 68-70°; p-[5,2-Cl(H2N)C6H3CO]C6H4F,
108-9°; p-[5,2-Cl(p-MeC6H4SO2NH)C6H3CO]C6H4F, 126-8°;
p-[5,2-C1(BrCH2CONH)C6H3CO] C6H4F, 97-8°; o-(o-C1C6H4CO)C6H4NO2,
76-9°; o-(o-ClC6H4CO)C6H4NH2, 58-60°; m-[5,2-
Cl(H2N)C6H3CO]C6H4Me, 90-1°; p-[5,2-Cl(BrCH2CO NH)C6H3CO]C6H4Cl,
127-8°; p-[5,2-Cl (H2NCH2CONH) C6H3CO] C6H4Cl, 139-40°.
747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-
805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-
909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-
4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-
toluenesulfonamido] - 4873-59-0, p-Toluenesulfonanilide,
2'-benzoyl-4'-chloro- 5649-39-8, p-Toluenesulfonanilide,
4'-chloro-2'-(o-chlorobenzoyl)-
    (preparation of)
747-99-9 CAPLUS
Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)
  (CA INDEX NAME)
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IT

RN

CN

RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-

(sodium derivative)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 60 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

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1964:68300 CAPLUS
AN
DN
     60:68300
OREF 60:12033h,12034a-h,12035a-e
     3H-1,4-Benzodiazepin-2(1H)-one derivatives
TI
     Reeder, Earl; Sternbach, Leo H.; Kell, Oscar; Steiger, Norbert; Stempel,
IN
     Arthur; Fryer, Rodney I.; Saucy, Gabriel; Sach, George S.
     F. Hoffmann-La Roche & Co., A.-G.
PΑ
SO
     16 pp.
DT
     Patent
LΑ
     Unavailable
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
     ______
                                -----
PΙ
     DE 1145626
                                19630321
                                            DE
                                            US
                                                                   19591210
     FR 1343476
                                            FR
     2-Amino-3,5-dimethylbenzophenone (I), m. 68-70°, was obtained by
AB
     refluxing 2-benzamido-3,5-dimethylbenzophenone, glacial AcOH, concentrated
     H2SO4, and H2O 4 h. 2-Amino-3,5-dichlorobenzophenone (II), m.
     93-4°, was prepared by keeping a HCl-saturated mixture of
     2-acetamido-5-chlorobenzophenone, AcOH, and HNO3 at room temperature 1 h. and
     refluxing the acetyl derivative (m. 143-4°) in alc. concentrated HCl 3 h.
     2-Amino-4'5,-dichlorobenzophenone (III), m. 118-19° (EtOH), was
     prepared by stirring p-chlorobenzoyl chloride with p-chloroaniline at
     120° to start of HCl evolution, adding ZnCl2, stirring 2 h. at
     230-42°, pouring into 0.5N HCl, suspending the powdered reaction
     product in 0.5N HCl, refluxing 1 h., dissolving the filtrate residue in
     AcOH and concentrated HCl, and refluxing 18 h. 2-Amino-5-bromo-4'-
     methylbenzophenone (IV), m. 105-6°, was prepared by adding anhydrous
     ZnCl2 to p-toluoyl chloride and p-bromoaniline at 200°, refluxing 2
     h. at 230°, pouring into 0.5N HCl, and working up as above.
     2-Chloro-5-trifluoromethylaniline was treated with NaNO2, concentrated H2SO4,
     NaCl, and ZnCl2 in H2O, the ZnCl2 double salt of the diazonium compound
     stirred 1 h. with NaCN, CuCN, and Na2CO3 in H2O at 20°, then 0.5 h.
     at 70°, and the obtained 2-chloro-5-trifluoromethylbenzonitrile (m.
     39-40°) in benzene refluxed with PhMgBr in absolute Et20 16 h. to give
     2-chloro-5-trifluoromethylbenzophenone imine as the HCl salt (V), m.
     248-51°. V was stirred with toluene-25% H2SO4 to give
     2-chloro-5-trifluoromethylbenzophenone, m. 39-40°, which was heated
     with concentrated aqueous NH4OH in the presence of CuCl at 140° in a sealed
     tube to give 2-amino-5-trifluoromethylbenzophenone (VI), m. 81-2°.
     2-Nitro-4-trifluoromethylaniline was converted over the diazonium
     ZnCl2-double salt into 2-nitro-4-trifluoromethylbenzonitrile, which was
     hydrogenated over Raney Ni in MeOH to the 2-amino analog, m.
     151-2°, refluxed with 50% H2SO4 0.5 h. to give 4-
     trifluoromethylanthranilic acid (VIIa), m. 173-5°. VIIa refluxed 1
     h. in Ac2O gave 2-methyl-7-trifluoromethyl-4H-3,1-benzoxazin-4-one, m.
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71-2°, which was treated with PhMgBr, and the product refluxed 10

min. in methanolic 3N NaOH to give 2-amino-4-trifluoromethylbenzophenone

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(VII), m. 55-6° (hexane). Anthranilic acid in Me2NCHO was cooled
to 0°, treated dropwise with SOCl2 at <40°, the isolated
2-dimethylformamidinoanthranilic acid-HCl (VIII) (m. 215-17°)
refluxed 2.5 h. with PCl5 in absolute C6H6, the mixture cooled to 20-5°,
treated with anhydrous NH4Cl at <40°, refluxed 6 h., diluted with ice,
treated dropwise with 40% NaOH at <50° to pH 11, and refluxed 5 h.,
and the oily product refluxed 20 h. with aqueous alc. 40% NaOH to give
2-aminobenzophenone (IX), m. 103-5°. IX in methanolic NaSCN was
treated dropwise with Br in NaBr-saturated MeOH at 0° and the mixture
stirred 0.5 h. to give 2-amino-5-thiocyanatobenzophenone (X), m.
83-4°, which was heated in EtOH on a steam bath with alternate
addition of Na dithionite and 10% NaOH, the temperature increased to 80°,
and the mixture treated with Me2SO4 at 40°, and stirred 1 h. to give
2-amino-5-(methylthio)benzophenone (XI). 2-Amino-5-
(ethylthio)benzophenone (XII), was similarly prepared from X with EtBr
instead of Me2SO4. Also prepared were 2-amino-5-(butylthio)benzophenone and
2-amino-4-(2-hydroxyethylthio)benzophenone (XIII). Heating
p-methylsulfonylaniline-HCl and BzCl at 120°, adding anhydrous ZnCl2
at 170°, heating the mixture 2.5 h. at 210-20°, adding aqueous HCl
at 160°, refluxing 5 min., and refluxing the isolated product 19 h.
in concentrated HCl-glacial AcOH gave 2-amino-5-methylsulfonylbenzophenone, m.
156-61°. 2-Amino-5-chlorobenzophenone (XIV) was heated with S2Cl2
2 h. at 60-5° to give 4-benzoyl-6-chloro-2,3,1-benzothiazathiolium
chloride, which was treated with aqueous alc. 40% NaOH and Na dithionite, and
then with Me2SO4 to give 2-amino-5-chloro-3-(methylthio)benzophenone (XV),
also obtained from VIII, with S2Cl2, AlCl3, and glacial AcOH at
60-80° followed by treating the dried thiazathiolium compound as
above. 2-Amino-4'-chlorobenzophenone (XVI), m. 98-9°, was obtained
from VIII with PCl5. The Na salt (m. 298-9°) of
2-(p-toluenesulfonamido)-5-chlorobenzophenone (m. 120-1°) was
methylated with Me2SO4 in toluene to give 2-(N-methyl-p-
toluenesulfonamido)-5-chlorobenzophenone (m. 151-2°), which was
added to 70% H2SO4 at 105°, and the mixture stirred 8 min. at
145° to give 2-methylamino-5-chlorobenzophenone (XVII), m.
93-4°. 2-Amino-4-chlorobenzophenone (XVIII), m. 84-5°
(hexane), was prepared from 2-methyl-7-chloro-4H-3,1-benzoxazin-4-one and
PhMgBr in Et20. 2-Amino-4'-trifluoromethylbenzophenone (XIX), m.
99-100° (hexane), was prepared from p-F3CC6H4MgBr in absolute Et2O and
2-methyl-4H-3,1benzoxazin-4-one (XX) in CH2Cl2. Similarly prepared were
2-amino-3'-trifluoromethylbenzophenone (XXI), m. 97-9°, from
m-F3CC6H4MgBr, and 2-amino-6-chlorobenzophenone (XXII), m. 101-2°,
from 5-chloro-2-methyl-4H-3,1-benzoxazin-4-one (m. 153.5-56°) and
PhMgBr. 2-Amino-2',5-dichlorobenzophenone (XXIII), m. 88-9°, was
prepared from p-chloroaniline, o-chlorobenzoyl chloride, and ZnCl2.
Similarly was prepared 2-amino-5-chloro-2'-methylbenzophenone (XXIV), m.
50-5°. 2-Amino-5-methoxybenzophenone (XXV), m. 50-2°, was
prepared from 2-methyl-6-methoxy-4H-3,1-benzoxazin-4-one and PhMgBr.
2-Amino-5-hydroxybenzophenone (XXVI), m. 127-8°, was prepared from
XXV and 48% HBr. Also prepared were 2-amino-5-chloro-2'-fluorobenzophenone
(XXVII), m. 94-5° (MeOH), 2-amino-5-chloro-3'-fluorobenzophenone
(XXVIII), m. 90-1° (MeOH), and 2-amino-5-bromo-3'-
fluorobenzophenone (XXIX), m. 101-2° (MeOH). XXX (R = R1 = R2 = H,
R3 = 7-C1) (XXXI) (2.9 g.), m. 216-17°, was prepared by distilling 25 mL.
C5H5N from a mixture of 23.2 g. XIV, 15 g. glycine, 250 mL. C5H5N, and 25 g.
anhydrous HCl, refluxing the mixture 24 h., distilling 50 mL. C5H5N, adding 25
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HCl, distilling 50 mL. of C5H5N, and refluxing the mixture 24 h. XXXI (14 g.) was also obtained by refluxing 23.15 g. XIV, 20.8 g. Et glycinate-HCl (XXXII), and 50 mL. C5H5N 4 h. The 9-nitro derivative, m. 234-5° (CH2Cl2), of XXXI was prepared by treating XXXI 1 h. with concentrated H2SO4-HNO3

g.

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at <0°. XXX (R = R1 = R2 = H, R3 = 7-Me)(16.5g.), m.
209-10° (Me2CO), was prepared from 22 g. 2-amino-5-
methylbenzophenone, 21 g. XXXII, and 120 mL. I. Also prepared were the
following XXX (R, R1, R2, R3, and m.p. given): H, H, H, 7,9-Me2,
210-11°; H, H, H, 7,9-Cl2, 207-8°; H, H, 4-Cl, 7-Cl, 247-8°; H, H, 4-Me, 7-Br, 239-40°; H, Me, H, 7-Cl,
217-19°; H, Me, H, 7-NO2, 219-21°; H, H, H, H,
182-3°; H, H, H, 7,9-(NO2)2, 240°; H, H, H, 7-NO2,
224-5°; H, H, H, 7-NH2, 236-9°; H, H, H, 7-NHAC,
278-9°; H, H, H, 7,8-Me2, 255-6°; H, H, H, 7-Br,
220-1°; H, H, H, 7-F3C, 198-9°; H, H, H, 8-F3C,
184-8°; H, H, H, 7-MeS, 216-18° (Me2CO); H, H, H, 7-MeS, -
(HCl salt m. 273°); H, H, H, 7-BuS, - (HCl salt m. 247-9°);
H, H, H, 7-HOCH2CH2S, - (HCl salt m. 252-3° (decomposition)); H, H, H,
7-MeSO2, 256-8°; H, H, H, 7,9-Cl(MeS), 189-91°; H, H,
4-C1, H, 262-3°; H, H, 4-C1, 7-NO2, 253-4°; Me, H, H, 7-C1,
123-4°; Me, H, 2-Cl, 7-Cl, 135-8°; Me, H, 2-Me, 7-Cl,
137-9°; Me, H, H, H, 153.5-5.5°; Me, H, H, 7-NO2,
156-7°; PhCH2, H, H, 7-Cl, 174-5°; Et, H, H, 7-Cl,
127-8°; Me, H, 2-MeO, 7-Cl, 161-2°; Me, H, 2-F, 7-Cl, -; Me, H, 2-F, 7-Br, 132-5°; H, H, Ph, 8-Cl, 214-15°; H, H, 4-F3C, H, 219-20°; H, H, 3-F3C, H, 204-5°; H, H, H, 6-Cl,
243.5-45°; H, H, H, 9-Cl, 174.5-6.5°; H, H, 2-Cl, 7-Cl, -
(HCl salt m. 199-201°); H, H, 2-Me, 7-Cl, 180-1°; H, H,
2-Cl, 7,8-Me2, 259-60°; H, H, H, 8-MeO, 186-8°; H, H, H,
7-MeO, 217-18°; H, H, H, 7-OH, 282-4°; H, Ph, H, 7-Cl,
269-70°; H, 4-HOC6H4CH2, H, 7-Cl, 151-3°; H, MeSCH2CH2, H,
7-Cl, 179-80°; H, H, 2-F, 7-Cl, 205-6°; H, H, 3-F, 7-Cl,
200-1°; H, H, 2-F, 7-Cl, 187-8°; H, H, H, 8-NO2, 252°
(decomposition); H, H, H, 6-NO2, 297-9° (decomposition); H, H, H, 7-MeSO,
254° (decomposition); H, H, 2-F3C, 7-F3C, 226-7°; H, H, H,
7,8-Br(MeO), 260.5-1.5°; H, H, 2-MeO, 7-Cl, 205.5-6.5°; H,
H, 3-MeO, 7-Cl, 219-21°; H, H, 4-MeO, 7-Cl, 212-14°; H, H,
H, 9-NO2, 144-5°; H, H, 2-F3C, 7-NO2, 233-4°. XXX show
sedative, muscle-relaxing, or anticonvulsive properties.
4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-
   (preparation of)
4873-59-0 CAPLUS
Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI)
                                                                        (CA
INDEX NAME)
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L4 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:469206 CAPLUS

DN 59:69206

OREF 59:12827g-h,12828a-e

TI 2-Oxo-1,2-dihydro-1,4-benzodiazepines

IN Reeder, Earl; Sternbach, Leo H.; Steiger, Norbert; Keller, Oscar; Stempel, Arthur
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ΙT

RN

CN

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PA
     F. Hoffmann-La Roche & Co., A.-G.
SO
     14 pp.
DT
     Patent
LΑ
     Unavailable
                                             APPLICATION NO.
     PATENT NO.
                         KIND
                                 DATE
                                                                     DATE
                                             ______
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PΙ
     DE 1136709
                                 19620920
                                             DΕ
                                             US
                                                                     19591210
                                             FR
     FR 1343475
                                             GB
     GB 972961
     GB 972962
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     GB 972963
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     GB 972964
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     GB 972965
                                             GB
     GB 972966
                                             GB
     GB 972967
                                             GB
     GB 972968
                                             GB
     US 3051701
                                 1962
                                             US
     Compds. of the general formula I have sedative, anticonvulsant, and muscle
AB
     relaxant properties. They were prepared by cyclizing the appropriate
     2-(\alpha-aminoacylamino) benzophenones (II), the II being obtained from
     the corresponding Cl compds., which were prepared by heating
     2-aminobenzophenones with \alpha-halocarboxylic acid halides. E.g.,
     refluxing 1.5 hrs. a solution of 231.5 g. 2-amino-5-chlorobenzophenone and
     231.5 g. p-toluenesulfonyl chloride in 1 l. pyridine, distilling 500 ml.
     pyridine, pouring the residue into H2O, filtering off the solid,
     dissolving it in boiling benzene, adding carefully 150 ml. 40% NaOH,
     refluxing 1 hr. with stirring, cooling to 25°, filtering, washing with hot benzene and with H2O, drying at 80°, and recrystg. from
     HCONMe2-CHCl3 yielded the Na salt of 2-(p-toluenesulfonamido)-5-
     chlorobenzophenone (III), m. 298-9°. Refluxing a suspension of
     31.5 g. III in 300 ml. dry MeCN with 13.3 ml. allyl bromide, filtering
     after 1.5 hrs., concentrating in vacuo, dissolving in 40 ml. AcOH, adding 300
ml.
     70% H2SO4 at 105°, heating 8 min. at 145° with stirring,
     pouring into 2 l. crushed ice, diluting with 1 l. H2O, dissolving the rubbery
     solid in 1.5 l. Et20, washing the organic layer with N NaOH and with H20,
     drying, concentrating in vacuo, and crystallizing the residue from 75 ml. MeOH
yielded
     2-allylamino-5-chlorobenzophenone, m. 76-7°. Treating 3.07 g. of
     the latter in 100 ml. Et2O with 1.1 ml. CH2BrCOBr, washing with 100 ml.
     H2O and with 0.5 and 3 + 0.3 ml. CH2BrCOBr, drying (Na2SO\overline{4}) and
     concentrating yielded 2-(N-ally1-2-bromoacetamido)-5-chlorobenzophenone (IV),
m.
     85-6° (hexane). A solution of 3.2 g. IV in 25 ml. MeOH and 30 ml. 21%
     methanolic NH3 was kept overnight at 25% then concentrated in vacuo at
     20-5°, 100 ml. Et2O added, NH4Br filtered off, and the solution
     decolorized, concentrated in vacuo, and crystallized (1:9 Et20-petr. ether) to
yield
     57% 1-ally1-7-chloro derivative of I, m. 105-6° (hexane). The
     following I were prepared (substituents, % yield, and m.p. given): 7-Cl, 33,
     214-15°; 7,9-Cl(O2N), -, 234-5° (CH2Cl2); 3,7-MeCl, -,
     220-1° (C6H6-petr. ether); 7-NO2, 11.7, -; 8-NO2, -, 252°
     (decomposition); 3,7-Me(O2N), 15, 219-21°; 1,7-MeCl, 46.5,
     125-6°; 1-benzyl-7-chloro, 60.2, 173-4°; 7-CF3, -,
     205-6° (C6H6-hexane); 2'-CF3, -, 187-8° (ether-hexane);
     2',5-(CF3)2, 43, 226-7° (C6H6-hexane); 6-Cl, -, 244-5°
     (AcOEt); 9-Cl, 20, 174-5.5° (C6H6-hexane); 2',7-Cl2, 75,
     199-201° (MeOH); 8-OMe, 47, 190-1.5° (Me2CO-hexane);
     7,8-Br(MeO), 42, 260-1.5° (C6H6-hexane); 7,2'-Cl(MeO), 45,
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205.5-6.5°; 7,2-Cl(HO), 36, 286-8° (MeCN); 7,3'-Cl(MeO),
     54, 219-21° (Me2CO-hexane); 7,4'-Cl(MeO), 52, 212-14°;
     9-NO2, 35, 144-5° (EtOH); 2',7-FCl, 72, 205-6°
     (Me2CO-hexane); 2',7-FBr, 84, 186-7° (Me2CO); 7-Me, -,
     209-10°, 7,9-Me2, -, 210-11°; 7,9-Cl2, -, 207-8°;
     4',7-Cl2, -, 247-8°; 4',7-MeBr, 239-40°; 7,8-Me2, -,
     255-6°; 7-Br, -, 220-1°; 7-SMe, -, 216-18°; 7-SEt, -,
     273° (hydrochloride); 7-SBu, -, 247-9° (hydrochloride);
     7-SC2H4OH, -, 252-3° (decomposition) (hydrochloride); 7-MeSO2, -,
     256-8°; 7,9-Cl (MeS), -, 189-91°; 1,7-Me(O2N), -,
     156-7°; 5'-Cl, -, 262-3°; 7-MeSO, -, 254 (decomposition); 8-Cl,
     -, 214-15°; 8-CF3, -, 184-6°; 4'-CF3, -, 219-20°;
     3'-CF3, -, 204-5°; 1,2',7-MeCl2, -, 135-8°; 2',7-MeCl, -,
     180-1°; 1,2',7-Me2Cl, -, 137-9°; 1-Me, -, 153.5-5.5°;
     2',7,8-ClMe2, -, 259-60°; 7,1-Cl(CH2OH), -, 201-2°;
     1,7-EtCl, -, 127-8°; 7-OMe, -, 217-18°; 7-OH, -,
     282-4°; 1,2',7-Me (MeO) Cl, -, 161-2°; 3,7-PhCl, -,
     269-70°; 3',7-FCl, -, 200-1°; 1,2',7-MeFCl, -, oil;
     1,2',7-MeFBr, -, 132-2.5°; 7,9-(O2N)2, -, 240°; 7-NH2, -,
     236-9°; 7-NHAC, -, 278-9°; 4',7-Cl(O2N), -, 253-4°.
     4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-
ΙT
        (preparation of)
RN
     4873-59-0 CAPLUS
     Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI)
CN
     INDEX NAME)
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ANSWER 62 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
L4
     1963:20757 CAPLUS
AN
DN
     58:20757
OREF 58:3436c-d
     Quinazolines and 1,4-benzodiazepines. VI. Halo-, methyl-, and
     methoxy-substituted 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones
     Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Reeder, E.; Sach, G.;
ΑU
     Saucy, G.; Stempel, A.
CS
     Hoffmann-La Roche Inc., Nutley, NJ
     Journal of Organic Chemistry (1962), 27, 3788-96
SO
     CODEN: JOCEAH; ISSN: 0022-3263
DT
     Journal
LΑ
     Unavailable
OS
     CASREACT 58:20757
AB
     Two new methods for the synthesis of 1,4-benzodiazepin-2-ones were
     reported. A number of new 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-
     ones (I), and intermediates leading to these compds. was described.
     747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-
IT
     805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-
     859-04-1, p-Toluenesulfonanilide, 4'-chloro-2'-(m-fluorobenzoyl)-
     909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-
     4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-
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5649-39-8, p-Toluenesulfonanilide, 4'-chloro-2'-(o-chlorobenzoyl)-94579-32-5, p-Toluenesulfonanilide, 2'-benzoyl-4'-bromo-(preparation of)

RN 747-99-9 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 859-04-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 94579-32-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)

ANSWER 63 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN L4AN 1963:20756 CAPLUS DN 58:20756 OREF 58:3436b-c Quinazolines and 1,4-benzodiazepines. V. o-Aminobenzophenones ΤI Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Sach, G.; Stempel, A. ΑU CS Hoffmann-La Roche Inc., Nutley, NJ Journal of Organic Chemistry (1962), 27, 3781-8 SO CODEN: JOCEAH; ISSN: 0022-3263 DT Journal LΑ Unavailable OS CASREACT 58:20756 cf. CA 57, 14296c. A series of substituted o-aminobenzophenones AΒ was prepared Some of these compds. were converted via their tosyl derivs. into N-mono-substituted o-aminobenzophenones. These primary and secondary amines were needed as intermediates for the synthesis of 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones. 747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-IT 805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-859-04-1, p-Toluenesulfonanilide, 4'-chloro-2'-(m-fluorobenzoyl)-909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-ptoluenesulfonamido] - 4873-59-0, p-Toluenesulfonamilide, 2'-benzoyl-4'-chloro- 5649-39-8, p-Toluenesulfonanilide, 4'-chloro-2'-(o-chlorobenzoyl) - 94579-32-5, p-Toluenesulfonanilide, 2'-benzoyl-4'-bromo-(preparation of) RN 747-99-9 CAPLUS Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) CN (CA INDEX NAME)

RN 859-04-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 94579-32-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-

(sodium derivative)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

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1962:404021 CAPLUS
AN
     57:4021
DN
OREF 57:830a-i,831a-h
     1,3-Dihydro-2H-1,4-benzodiazepin-2-ones and their 4-oxides
TΙ
     Bell, Stanley C.; Sulkowski, Theodore S.; Gochman, Carl; Childress, Scott
AU
CS
     Wyeth Labs., Inc., Radnor, PA, USA
     Journal of Organic Chemistry (1962), 27, 562-6
SO
     CODEN: JOCEAH; ISSN: 0022-3263
DT
     Journal
LΑ
     Unavailable
     Alc. NaOH converted 6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide
AB
     (I) into 7-chloro-5-phenyl-1,3-dihydro-2H-1,4-benzo-diazepin-2-one 4-oxide
     (II). 7-Chloro-5-phenyl-1,3-dihy-dro-2H-1,4-benzodtazepin-2-one (III) was
     prepared by reduction of II and by several alternate routes. A number of
analogs
     were made. The following methods were employed. Method A. I (1.5 g.)
     added to 2 g. NaOH in 30 ml. 85% alc., the mixture stirred 0.5 hr., diluted
     with 30 ml. H2O, and acidified gave 1 g.II, m. 238-9°. Method A
     also afforded the product prepared from 2-(α-bromoethyl)-6-chloro-4-
     phenylquinazoline 3-oxide. Alc. was used as the solvent. In addition a 22%
     yield of 7-chloro-2-ethoxy-3-methyl-5-phenyl-3H- 1,4-benzodiazepine
     4-oxide, m. 156-7°, was isolated. Method B. III (1 g.) and 1 ml. 40% AcO2H in 25 ml. AcOH kept 24 hrs. at room temperature, diluted with 200 ml.
     H2O, neutralized, and crystallized gave 0.5 g. II. Method C.
     2-Amino-5-chlorobenzophenone (23 g.) in 100 ml. CHCl3 treated at room
     temperature with 8.5 ml. ClCH2COCl in 50 ml. CHCl3, after 1 hr. the solvent
     removed, and the residue crystallized gave 24 g. 2-chloroacetamido-5-
     chlorobenzophenone (IV), m. 119-21°. IV (5 g.) added to 125 ml.
     alc. saturated with NH3 and containing a trace of NaI, the mixture stirred 2
days,
     evaporated, the solid extracted with dilute HCl, and neutralized gave 1.2 g.
III, m.
     214-16°; MeI salt m. 250-1°. III.MeI (3 q.) in 300 ml. H2O
     treated dropwise with NaBH4 in H2O and the precipitate recrystd. gave 1.8 g.
     7-chloro-4-methyl-5-phenyl-1,3,4,5-tetrahydro-2H- 1,4-benzo-diazepin-2-
     one, m. 206-8°. Method D. The compound (2.5 g.) in 120 ml. 80% alc.
     and 2 ml. 6N HCl shaken with H in the presence of 1 g. 5% Pd-C, the
     filtrate evaporated, MeCN added, the salt separated, and treated with Na2CO3
gave
     1.3 g. 5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one (V), m.
     170-80° (C6H6). V was isolated by catalytic reduction of II. When a
     third mole of H was added, saturation of the double bond occurred to give 50%
     5-phenyl-1,3,4,5-tetrahydro-2H-1,4-benzodiazepin-2-one, m. 145-6°.
     Method E. \alpha-Carbo-benzoxamidophenylacetyl chloride (from 10 g.
     \alpha-carbo-benzoxamidophenylacetic acid and 7.9 g. PCl5 in 200 ml.
     Et20) left overnight with 8 g. 2-amino-5-chlorobenzophe-none gave 9.8 g.
     product, m. 137°. This product (8 g.) in 25 ml. AcOH containing 30%
     HBr left 1 hr., the product dissolved in 100 ml. 75% aqueous MeOH,
     neutralized, and poured on ice gave a solid, presumably
     2-(\alpha-aminophenylacetamido)-5-chlorobenzophenone, which was refluxed
     in PhMe over-night to give 90% 7-chloro-3,5-diphenyl-1,3-dihydro-2H-1,4-
     benzodiazepin-2-one, m. 270° (decomposition) (PhMe).
     2-(\alpha-Carbobenzoxamidoacetamido)-5-chlorobenzophenone (VI), m.
     115-16° (alc.), was prepared as in the above example and used in
     method E to give III. Method F. 1-Aminocyclo-pentanecarboxylic acid
     (12.9 g.), 40 g. PCl5, and 300 ml. CCl4 shaken 18 hrs., the solid filtered
     off, washed, and dried gave 18.3 g. acid chloride-HCl, m. above
     300°. This product in 20 g. 2-amino-5-chlorobenzophenone in 400
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 $\,$  ml. CCl4 shaken overnight, the mixture evaporated, and the residue extracted with

hot PhMe gave 13.5 g. 7-chloro-5-phenylspiro(3H-1,4-benzodiazepin-3,1'cyclopentan) -2(1H) -one, m. 238-40° (alc.). When 2-amino-5-chlorobenzophenone and glycyl chloride-HCl were used in method F, a 15% yield of 3-amino-6-chloro-4-phenyl-2(1H)-quinoline (VII) was obtained, m. 239-41° (alc.). VII (6 g.), 30 ml. 95% alc., and 6 ml. H2SO4 heated on the steam bath to give a clear solution, cooled to 5°, 4 g. NaNO2 in 10 ml. H2O added, the mixture stirred 20 min., 1 g. Cu powder added, the mixture heated to reflux, poured onto ice, made basic, and the solid crystallized gave 2.1 g. 6-chloro-4-phenyl-2(1H)-quinoline (VIII), m. 262°(alc.). Di-Et malonate (10 g.) and 11.6 g. 2-amino-5-chlorobenzo-phenone heated 1 hr. at 150-60°, cooled, triturated with hexane, and the product crystallized gave 9.5 g. 3-carbethoxy-6-chlorn-4-phenyl-2(1H)-quinolone (IX), m. 235° (alc.). IX (8 g.), 150 ml. 20% NaOH, and 30 ml. alc. refluxed 1 hr., cooled, and acidified gave 7 g. 3-carboxy-5-chloro-4-phenyl-2(1H)quinolone (X), m. 305°. X (1.5 g.) refluxed 1 hr. in 50 ml. Dowtherm, diluted, and chilled gave 1.1 g. VIII. Method G. II (50.8 g.) and 8.1 g. NaOH in 1.5 1. H2O and 300 ml. alc. treated with 17.5 ml. Me2SO4 gave after 1 hr. 36.5 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 4-oxide (XI), m. 179-80° (alc.). Method H. PCl3 (10 ml.) in 10 ml. C6H6 slowly added to 12.5 g. XI in 50 ml. CHCl3 and 150 ml. C6H6, the mixture refluxed 20 min., treated with 3 ml. alc. and 10 ml. C6H6, the precipitate separated, stirred in 300 ml. H2O containing 3 ml. HCl,

product recrystd. gave 8.7 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro2H-1,4benzodiazepin-2-one, m. 122-4°(cyclohexane). II (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH warmed 10 min., the Na salt, m. 220-2°, collected, dissolved in H2O, acidified, and recrystd. gave 1 g.  $N-(2-amino-5-chloro-\alpha-phenylbenzylidene)glycine <math>N-oxide$ , m. 150-1° (decomposition) (MeCN). N-(2-Methylamino-5-chloro- $\alpha$ phenylbenzylidene) glycine Noxide was similarly prepared, m. 150-1° (decomposition). The 2 preceding compds. could be recyclized by heating 5 min. in 3N aqueous alc. HCl. III (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH refluxed 10 min. and the 1.5 g. Na salt (XII) of N-(2amino-5-chloro- $\alpha$ phenylbenzylidene) glycine acidified gave 2-amino-5-chlorobenzophenone and glycine. XII (3 g.) treated with 0.5 g. NaBH4 in 15 ml. H2O and the mixture after 15 min. cautiously acidified gave 2.5 g. N-(2-amino-5chloro- $\alpha$ phenylbenzyl)glycine, m. 192-4°. 2-Aminoacetophenone oxime (4.6 g.) in 50 ml. AcOH treated overnight with 5 ml. ClCH2COCl gave 4.6 g. 2-chloromethyl-4-methylquinazoline 3-oxide, m. 169-70°. p-Chlorobenzoyl chloride (100 g.) added to 45 g. p-bromoaniline, the mixture heated to 180°, 35 g. fused ZnCl2 added in 15 min., the mixture heated a further 1.5 hrs., cooled, mixed into 300 ml. alc., heated 4 days in a mixture of 250 ml.  $\rm H2SO4$ , 250 ml.  $\rm H2O$ , and 300 ml. alc., the unhydrolyzed material removed, and the filtrate diluted with H2O gave 14 g. 2-amino-5-bromo-4'-chlorobenzophenone, m. 122-4°; oxime (XIII) m. 175-7°(C6H6). XIII (12 g.) in 100 ml. AcOH treated with 5.8 ml. ClCH2COCl and HCl passed in gave 6.6 g. 6-bromo-2-chloromethyl-4-(pchlorophenyl)quinazoline 3-oxide, m. 180-1°. The following intermediates were prepared as described in method C for 2 -chloroacetamido-5-chlorobenzophenone: 2-chloroacetamido-5-chloro-4'methoxybenzophenone, m. 138-40°(alc.); 2-chloroacetamido-5chlorophenyl cyclohexyl ketone, m. 116-18° (alc.); 2-(α-bromopropionamido)-5-chlorobenzophenone, m. 113-14° 6-Chloro-2-chloromethyl4-phenylquinazoline (3 g.) slowly added to 2 q. NaOH in 45 ml. alc., the mixture stirred 1 hr., heated 0.5 hr. at 60°, cooled, kept overnight at room temperature, treated with H2O, and crystallized gave 1.6 g. 6-chloro-2-ethoxymethyl-4-phenylquinazoline, m.

94-6° (MeCN). 2-Benzamido-4'-chloroacetanilide (2 g.) and 50 ml. polyphosphoric acid heated 1 hr. gave 0.9 g. solid, identified as hippuric acid, but no III was obtained. 2-Amino-5-chlorobenzophenone (23 g.) in 50 ml. C5H5N treated with 21 g. p-MeC6H4SO2Cl gave 36 g. 2'-benzoyl-5'-chloro-p-toluenesulfonanilide (XIV), m. 11516°. XIV in dilute NaOH treated with Me2SO4 gave quant. N-methyl-2'-benzoyl-5'-chloro-p-toluenesulfonanilide (XV), m. 150-2°. Crude XV (35 g.) in 100 ml. concentrated H2SO4 warmed 0.5 hr. on the steam bath, the solution cooled, poured

into H2O, made basic, and crystallized gave 19 g. 2-methylamino5chlorobenzophenone, m. 94-6°. II (0.5 g.) refluxed 10 min. with 5 ml. SOC12 gave 0.3 g. III. The following 1,3-dihydro-2H-1,4-benzodiazepin-2-ones were prepared in addition to the above by the described methods (substituents at 1, 3, 4, 5, and 7 positions, m.p. of product, method, recrystn. solvent, and % yield given): H, H2, -, Me, H, 285-6°, D, alc., 45; H, H2, O, Me, H, 235-6°, A, H2O, 59; H, H2, -, C6H11, Cl, 200-2°, C, MeCN, 25; H, H2, O, Ph, H, 250°, A, repptd. from alkali, 84; H, H2, -, Ph, Me, 204-6°, D, PhMe, 77; H, H2, O, Ph, Me,  $234-6^{\circ}$ , A, EtOAc, 90; H, H2, -, Ph, Cl,  $214-16^{\circ}$ , C (D, E, F, H), alc., 27 (C); H, H (Me), -, Ph, Cl,  $220-1^{\circ}$ , C, alc., 30; H, H2, O, 2-C4H3S, Cl, 255-6°, A, alc., 55; H, H2, -, p-MeOC6H4, Cl, 213-14°, C, alc., 20; H, H2, O, p-ClC6H4, Br, 260-1° (decomposition), A, alc., 67; Et, H2, -, Ph, Cl, 129-31°, H, MeOH, 63; Et, H2, O, Ph, Cl, 211-12°, G, alc., 22; Me2NCH2CH2, H2, O, Ph, Cl, 211-12°, G, alc.-Et2O, 10; H, H(Ph), -, Me, Cl, 245-7°, F, alc., 50; H, Me2, -, Ph, Cl, 209-11°, F, alc., 8. 97296-01-0, p-Toluenesulfonanilide, 2'-benzoyl-5'-chloro-

(preparation of)
RN 97296-01-0 CAPLUS
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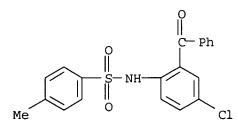
## IT 4142-76-1 ANSWER 5 OF 12 CAOLD COPYRIGHT 2005 ACS on STN L5 CA62:7694b CAOLD AN 2'-aminobenzophenones (2(or 4)-substituted) ΤI Hoffmann-La Roche, F., & Co. A.-G. PΑ DT 2(or 4)-substituted-2'-aminobenzophenones ΤI AU Fryer, R. Ian; Sternbach, L. H. DT Patent PATENT NO. KIND DATE \_\_\_\_\_\_ FR 1375300 PΙ BE 637329 GB 982909 NL 298186 US 3261867 1966 **747-99-9** 784-40-7 **805-61-8** 839-86-1 IT 909-51-3 1424-76-6 1444-66-2 1444-68-4 1444-69-5 1444-70-8 1444-71-9 1444-72-0 1581-13-1 1823-21-8 1823-22-9 1823-23-0 1823-24-1 1823-25-2 2237-07-2 3109-35-1 3876-93-5 ANSWER 6 OF 12 CAOLD COPYRIGHT 2005 ACS on STN 1.5 CA62:946e CAOLD AN ΤI metabolism of diazepan AU Jommi, Giancarlo; Manitto, P.; Silanos, M. A. 589-41-3 719-59-5 728-10-9 784-41-8 1022-13-5 IT439-14-5 2139-85-7 2139-87-9 2139-90-4 2139-93-7 2139-94-8 1609-46-7 2237-07-2 ANSWER 7 OF 12 CAOLD COPYRIGHT 2005 ACS on STN L5 CA61:9517h CAOLD ANTIhydroboration of ureido-substituted olefins AU Butler, D. N.; Soloway, A. H. **747-99-9** 784-39-4 784-40-7 837-58-1 IT**909-51-3** 2647-49-6 2894-44-2 2894-51-1 4076-50-0 4937-62-6 5041-13-4 5041-14-5 5041-15-6 5621-60-3 5627-71-4 5627-75-8 5627-78-1 5649-38-7 7703-29-9 14421-89-7 14439-59-9 14439-60-2 90644-60-3 97470-05-8 ANSWER 8 OF 12 CAOLD COPYRIGHT 2005 ACS on STN L5 CA61:9515f CAOLD AN 5-aryl-3H-1,4-benzodiazepin-2(1H)-ones ΤI ΑU Reeder, Earl; Sternbach, L. H. Hoffmann-La Roche Inc. PA DTPatent PATENT NO. KIND DATE \_\_\_\_\_ PΙ US 3136815 1964 CH 396016 DE 1199776 GB 972969 IT723-99-9 728-09-6 **747-99-9** 784-38-3 805-61-8 806-68-8 844-11-1 844-15-5 844-16-6 846-84-4 963-39-3 1022-13-5 1479-58-9 1492-96-2 1512-62-5 1548-36-3 1581-13-1 1584-62-9 1647-74-1 1799-13-9 1799-16-2 1824-69-7 1894-70-8 1995-73-9 2559-01-5 2619-57-0 2647-50-9 2648-00-2 2648-01-3 2729-89-7 2824-08-0 2836-40-0 2848-94-4 2886-65-9 2888-64-4 2890-44-0 2893-99-4 2894-45-3 2894-46-4

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TI
    3H-1,4-benzodiazepin-2(1H)-one derivs.
AU
    Reeder, Earl; Sternbach, L. H.; Keller, O.; Steiger, N.; Stempel, A.;
    Fryer, R. I.; Saucy, G.; Sach, G. S.
PA
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    2-oxo-1,2-dihydro-1,4-benzodiazepines
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    Reeder, Earl; Sternbach, L. H.; Steiger, N.; Keller, O.; Stempel, A.
PA
    Hoffmann-La Roche, F., & Co. A.-G.
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     Sternbach, Leo H.; Fryer, R. I.; Metlesics, W.; Reeder, E.; Sach, G. S.;
AU
     Saucy, G.; Stempel, A.
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CA57:830a CAOLD
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     Bell, Stanley C.; Sulkowski, T. S.; Gochman, C.; Childress, S. J.
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    ANSWER 1 OF 12 CAOLD COPYRIGHT 2005 ACS on STN
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AN
ΤI
     trichloroacetoacetates - (I) synthesis and reactions of ethyl and
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ΑU
     Wald, David K.; Joullie, M. M.
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Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA



CA64:19498a CAOLD

INDEX NAME)

CN

L5

AN

ΤI 2-(N-substituted amino) halobenzophenones Reeder, Earl; Sternbach, L. H. ΑU DT Patent PATENT NO. DATE KIND \_\_\_\_\_ ----PΤ US 3239564 1966 ΙT 439-14-5 723-99-9 728-09-6 747-99-9 784-38-3 784-39-4 784-40-7 805-61-8 806-68-8 837-58-1 909-51-3 1022-13-5 1479-58-9 1548-36-3 1581-13-1 2894-52-2 2848-94-4 2894-45-3 2894-46-4 2894-47-5 2894-51-1 2955-31-9 2955-32-0 2994-61-8 3022-68-2 3109-35-1 4076-50-0 4142-77-2 4873-37-4 4873-58-9 4142-76-1 4873-59-0 5054-32-0 5445-77-2 4937-64-8 4958-55-8 5543*-*95-3 5571-61-9 5621-60-3 5621-62-5 5621-63-6 5621-81-8 5621-65-8 5621-66-9 5621-82-9 5621-83-0 5621-84-1 5621-85-2 5621-86-3 5627-62-3

ANSWER 2 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

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CN	Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)					

C1 C F

Ме

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

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RN 4873-59-0 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAOLD

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA64:3425g CAOLD

TI 2-methyl (and benzyl)amino-5-chlorobenzophenones

AU Reeder, Earl; Sternbach, L. H.

DT Patent

TI 2-methyl (and benzyl)amino-5-chlorobenzophenones

PA Hoffmann-La Roche, F., & Co. A.-G.

DT Patent

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ΙT	1022-13-5	1843-10-3	1843-11-4	4873-37-4	4873-58-9			
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CN	Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CF							
	INDEX NAME	)						

ANSWER 4 OF 12 CAOLD COPYRIGHT 2005 ACS on STN L5 AN CA63:17978e CAOLD ΤI 2-alkenylamino-5-halobenzophenones Reeder, Earl; Sternbach, L. H. ΑU Hoffmann-La Roche, F., & Co. A.-G. PA DTPatent PATENT NO. DATE KIND -----GB 972971 PIIT4142-76-1 IT 4142-76-1 RN 4142-76-1 CAOLD Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt CN

(9CI) (CA INDEX NAME)

#### Na

L5 ANSWER 5 OF 12 CAOLD COPYRIGHT 2005 ACS on STN AN CA62:7694b CAOLD
TI 2'-aminobenzophenones (2(or 4)-substituted)
PA Hoffmann-La Roche, F., & Co. A.-G.
DT Patent
TI 2(or 4)-substituted-2'-aminobenzophenones

ΑU Fryer, R. Ian; Sternbach, L. H. DT Patent PATENT NO. DATE KIND ---<del>---</del>----ΡI FR 1375300 BE 637329 GB 982909 NL 298186 1966 US 3261867 839-86-1 805-61-8 ΙT 747-99-9 784-40-7 1444-69-5 1444-68-4 1444-66-2 909-51-3 1424-76-6 1581-13-1 1823-21-8 1444-70-8 1444-71-9 1444-72-0 1823-25-2 1823-23-0 1823-24-1 2237-07-2 1823-22-9 3109-35-1 3876-93-5 IT 747-99-9 805-61-8 909-51-3 1823-22-9 2237-07-2 RN 747-99-9 CAOLD Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) CN (CA INDEX NAME)

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CN p-Toluenesulfonanilide, 2'-o-anisoyl-4'-bromo- (7CI, 8CI) (CA INDEX NAME)

RN 2237-07-2 CAOLD

CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)

L5 ANSWER 6 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA62:946e CAOLD

TI metabolism of diazepan

AU Jommi, Giancarlo; Manitto, P.; Silanos, M. A.

IT 439-14-5 589-41-3 719-59-5 728-10-9 784-41-8 1022-13-5 1609-46-7 2139-85-7 2139-87-9 2139-90-4 2139-93-7 2139-94-8

2237-07-2

IT 2237-07-2

RN 2237-07-2 CAOLD
CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)

ANSWER 7 OF 12 CAOLD COPYRIGHT 2005 ACS on STN L5 AN CA61:9517h CAOLD hydroboration of ureido-substituted olefins ΤI ΑU Butler, D. N.; Soloway, A. H. 784-40-7 837-58-1 ΙT 747-99-9 784-39-4 2647-49-6 2894-44-2 2894-51-1 4076-50-0 909-51-3 5041-15-6 5621-60-3 5627-71-4 4937-62-6 5041-13-4 5041-14-5 14421-89-7 14439-59-9 7703-29-9 5627-75-8 5627-78-1 5649-38-7 90644-60-3 97470-05-8 14439-60-2 ΙT 747-99-9 909-51-3 RN 747-99-9 CAOLD Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) CN (CA INDEX NAME)

RN 909-51-3 CAOLD
CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

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ANSWER 8 OF 12 CAOLD COPYRIGHT 2005 ACS on STN
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ΤI
     5-aryl-3H-1,4-benzodiazepin-2(1H)-ones
     Reeder, Earl; Sternbach, L. H.
AU
     Hoffmann-La Roche Inc.
PA
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     DE 1199776
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CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 805-61-8 CAOLD
CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 4873-59-0 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAOLD

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

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     Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)
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RN 805-61-8 CAOLD

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 859-04-1 CAOLD

CN Benzenesulfonamide, N-[4-chloro-2-(3-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

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CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)

RN 4142-76-1 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

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RN 4873-59-0 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)

RN 5649-39-8 CAOLD

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 94579-32-5 CAOLD

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)

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     p-Toluenesulfonanilide, 2'-benzoyl-5'-chloro- (7CI) (CA INDEX NAME)
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Answer 8:

#### **Bibliographic Information**

Synthesis of substituted amides and their bioactivity. Wu, Jingping; Chen, Fuheng. Department of Applied Chemistry, Beijing Agricultural University, Beijing, Peop. Rep. China. Yingyong Huaxue (1995), 12(4), 80-3. CODEN: YIHUED ISSN: 1000-0518. Journal written in Chinese. CAN 123:285437 AN 1995:811922 CAPLUS (Copyright 2004 ACS on SciFinder (R))

#### **Abstract**

Thirty substituted amides e.g. 2,4-RCIC6H3NHXR1 (R = Bz, PhCHOH, R1 = substituted Ph; X = CO, SO2) have been synthesized from 5-chloro-2-aminobenzophenone. Most of the compds. showed an inhibition effect on rice growth.

Indexing -- Section 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds) Section cross-reference(s): 5

Amides, preparation

Plant hormones and regulators

Role: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of substituted amides and their plant growth regulator activity)

4016-85-7P

4873-59-0P

84609-09-6P

157488-07-8P

169263-14-3P

169263-15-4P

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169263-19-8P

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Role: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN

# SciFinder

Page: 32

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis of substituted amides and their plant growth regulator activity)

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157488-19-2P

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Role: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of substituted amides and their plant growth regulator activity)

62-23-7, p-Nitrobenzoic acid

79-11-8, Chloroacetic acid, reactions

88-14-2, Furan-2-carboxylic acid

94-75-7, (2,4-Dichlorophenoxy) acetic acid, reactions

98-47-5, 3-Nitrobenzenesulfonic acid

98-66-8, p-Chlorobenzenesulfonic acid

99-34-3, 3,5-Dinitrobenzoic acid

100-09-4, p-Methoxybenzoic acid

104-15-4, p-Methylbenzenesulfonic acid, reactions

106-47-8, p-Chloroaniline, reactions

118-90-1, 2-Methylbenzoic acid

138-36-3, p-Bromobenzenesulfonic acid

368-88-7, p-Fluorobenzenesulfonic acid

609-62-1, 2,4-Dichlorobenzenesulfonic acid

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2012-74-0, 2-(4-Chlorophenyl)isovaleric acid

Role: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of substituted amides and their plant growth regulator activity)

719-59-5P, 5-Chloro-2-aminobenzophenone

Role: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis of substituted amides and their plant growth regulator activity)

### **Supplementary Terms**

benzamide chlorophenyl prepn plant growth regulator

Answer 9:

### **Bibliographic Information**

Preparation of N-sulfonylIndoline derivatives with affinity for vasopressin and oxytocin receptors. Wagnon, Jean; de Cointet, Paul; Nisato, Dino; Plouzane, Claude; Sereadeil-Legal, Claudine; Tonnerre, Bernard. (Elf Sanofi SA, Fr.). U.S. (1994), 50 pp. Cont.-in-part of U.S. Ser. No.737,655, abandoned. CODEN: USXXAM US 5338755 A 19940816 Patent written in English. Application: US 92-923839 19920803. Priority: FR 90-9778 19900731; US 91-737655 19910730; FR 91-9908 19910802. CAN 123:198616 AN 1995:777639 CAPLUS (Copyright 2004 ACS on SciFinder (R))

#### **Patent Family Information**

US 5338755 A 19940816 US 1992-923839 19920803 FR 2665441 A1 19920207 FR 1990-9778 19900731 FR 2665441 B1 19921204 IL 114934 A1 19960804 IL 1991-114934 19910730 HU 219351 B 20010328 HU 1971-99045 19910731
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Answer 8:

#### **Bibliographic Information**

Synthesis of substituted amides and their bloactivity. Wu, Jingping; Chen, Fuheng. Department of Applied Chemistry, Beijing Agricultural University, Beijing, Peop. Rep. China. Yingyong Huaxue (1995), 12(4), 80-3. CODEN: YIHUED ISSN: 1000-0518. Journal written in Chinese. CAN 123:285437 AN 1995:811922 CAPLUS (Copyright 2004 ACS on SciFinder (R))

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4016-85-7P 4873-59-0P

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157488-07-8P

169263-14-3P

169263-15-4P

169263-16-5P

169263-17-6P

169263-18-7P

169263-19-8P

169263-20-1P

169263-21-2P

169263-22-3P

169263-23-4P

169263-24-5P

Role: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN

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92435-94-4P
157488-15-8P
157488-19-2P
169263-25-6P
169263-26-7P
169263-27-8P
169263-28-9P
169263-29-0P
169263-30-3P
169263-31-4P
169263-32-5P
169263-33-6P
169263-34-7P
169263-35-8P
169263-36-9P
Role: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
  (synthesis of substituted amides and their plant growth regulator activity)
62-23-7, p-Nitrobenzoic acid
79-11-8, Chloroacetic acid, reactions
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(Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of substituted amides and their plant growth regulator activity)

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88-14-2, Furan-2-carboxylic acid
94-75-7, (2,4-Dichlorophenoxy) acetic acid, reactions
98-47-5, 3-Nitrobenzenesulfonic acid
98-66-8, p-Chlorobenzenesulfonic acid
99-34-3, 3,5-Dinitrobenzoic acid
100-09-4, p-Methoxybenzoic acid
104-15-4, p-Methylbenzenesulfonic acid, reactions
106-47-8, p-Chloroaniline, reactions
118-90-1, 2-Methylbenzoic acid
138-36-3, p-Bromobenzenesulfonic acid
368-88-7, p-Fluorobenzenesulfonic acid
609-62-1, 2,4-Dichlorobenzenesulfonic acid
1878-49-5, (2-Methylphenoxy)acetic acid
2012-74-0, 2-(4-Chlorophenyl)isovaleric acid
Role: RCT (Reactant); RACT (Reactant or reagent)
  (synthesis of substituted amides and their plant growth regulator activity)
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Role: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis of substituted amides and their plant growth regulator activity)

#### **Supplementary Terms**

benzamide chlorophenyl prepn plant growth regulator

Answer 9:

### **Bibliographic Information**

Preparation of N-sulfonylindoline derivatives with affinity for vasopressin and oxytocin receptors. Wagnon, Jean; de Cointet, Paul; Nisato, Dino; Plouzane, Claude; Sereadeil-Legal, Claudine; Tonnerre, Bernard. (Elf Sanofi SA, Fr.). U.S. (1994), 50 pp. Cont.-in-part of U.S. Ser. No.737,655, abandoned. CODEN: USXXAM US 5338755 A 19940816 Patent written in English. Application: US 92-923839 19920803. Priority: FR 90-9778 19900731; US 91-737655 19910730; FR 91-9908 19910802. CAN 123:198616 AN 1995:777639 CAPLUS (Copyright 2004 ACS on SciFinder (R))

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